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* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JAN 02	STN pricing information for 2008 now available
NEWS	3	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	4	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	5	JAN 28	MARPAT searching enhanced
NEWS	6	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	7	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	8	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS	9	FEB 08	STN Express, Version 8.3, now available
NEWS	10	FEB 20	PCI now available as a replacement to DPCI
NEWS	11	FEB 25	IFIREF reloaded with enhancements
NEWS	12	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS	13	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS	14	MAR 31	IFICDB, IFIPAT, and IFIADB enhanced with new custom IPC display formats
NEWS	15	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS	16	MAR 31	CA/CAPLUS and CASREACT patent number format for U.S. applications updated
NEWS	17	MAR 31	LPCI now available as a replacement to LDPCI
NEWS	18	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	19	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	20	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	21	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	22	APR 28	IMSRESEARCH reloaded with enhancements
NEWS	23	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS	24	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS	25	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS	26	JUN 06	KOREAPAT updated with 41,000 documents
NEWS	27	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS	28	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS	29	JUN 25	CA/CAPLUS and USPAT databases updated with IPC reclassification data
NEWS	30	JUN 30	AEROSPACE enhanced with more than 1 million U.S.

patent records

NEWS 31 JUN 30 EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations

NEWS 32 JUN 30 STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in

NEWS 33 JUN 30 STN AnaVist enhanced with database content from EPFULL

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability

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NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 16:41:23 ON 10 JUL 2008

=> file reg

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 16:41:27 ON 10 JUL 2008

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STRUCTURE FILE UPDATES: 9 JUL 2008 HIGHEST RN 1033322-45-0
DICTIONARY FILE UPDATES: 9 JUL 2008 HIGHEST RN 1033322-45-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

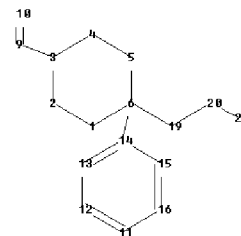
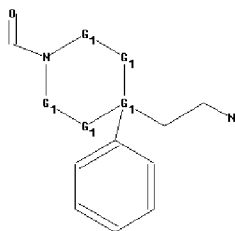
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\STNEXP\Queries\10538145_no8ring_norepeatinggroup.str



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chain nodes :
9 10 19 20 21
ring nodes :
1 2 3 4 5 6 11 12 13 14 15 16
chain bonds :
3-9 6-14 6-19 9-10 19-20 20-21
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16
exact/norm bonds :
1-2 1-6 2-3 3-4 3-9 4-5 5-6 6-14 6-19 9-10 19-20 20-21
normalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16
isolated ring systems :
containing 1 : 11 :

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G1:C,O

G2:C,N

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 9:CLASS 10:CLASS 11:Atom 12:Atom
13:Atom 14:Atom 15:Atom 16:Atom 19:CLASS 20:CLASS 21:CLASS

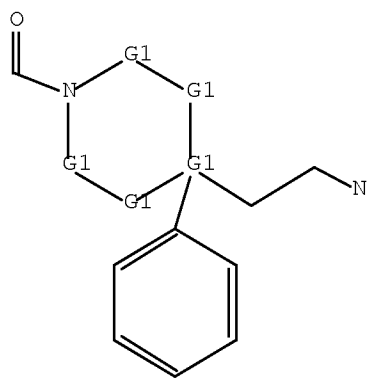
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L1 STRUCTURE UPLOADED

=> d L1

L1 HAS NO ANSWERS

L1 STR



G1 C,O
G2 C,N

Structure attributes must be viewed using STN Express query preparation.

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.46

0.67

FILE 'CAPLUS' ENTERED AT 16:41:51 ON 10 JUL 2008

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FILE COVERS 1907 - 10 Jul 2008 VOL 149 ISS 2

FILE LAST UPDATED: 9 Jul 2008 (20080709/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> s L1 SSS full

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 16:41:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 511739 TO ITERATE

100.0% PROCESSED 511739 ITERATIONS 174 ANSWERS
SEARCH TIME: 00.00.03

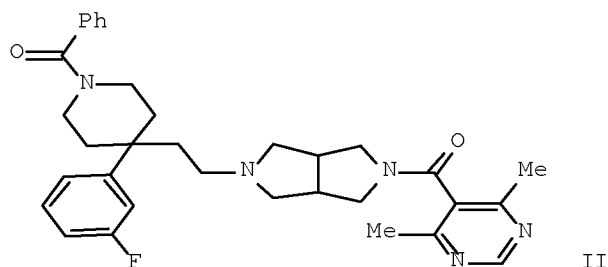
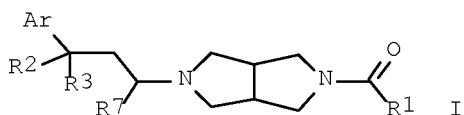
L2 174 SEA SSS FUL L1

L3 6 L2

=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 6 ANSWERS - CONTINUE? Y/(N):y

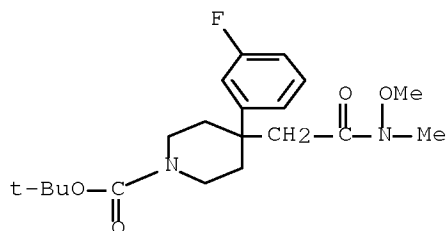
L3 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:377481 CAPLUS Full-text
DOCUMENT NUMBER: 148:379600
TITLE: Octahydropyrrolo[3,4-c]pyrrole derivatives as
antiviral agents and their preparation, pharmaceutical
compositions and use in the treatment of HIV infection
INVENTOR(S): Lemoine, Remy; Melville, Chris Richard; Rotstein,
David Mark; Wanner, Jutta
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
SOURCE: PCT Int. Appl., 116pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2008034731	A1	20080327	WO 2007-EP59453	20070910
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CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,				
GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,				
KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,				
MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,				
PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,				
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,				
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,				
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,				
BY, KG, KZ, MD, RU, TJ, TM				
US 20080103125	A1	20080501	US 2007-901498	20070918
PRIORITY APPLN. INFO.:			US 2006-845334P	P 20060918
OTHER SOURCE(S):	MARPAT 148:379600			
GI				



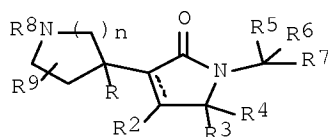
AB The invention relates to octahydropyrrolo[3,4-c]pyrrole derivs. of formula I, which are chemokine receptor (CCR5) antagonists and useful for treating or preventing an human immunodeficiency virus (HIV) infection, or treating AIDS or ARC. The invention further provides methods for treating diseases that are alleviated with CCR5 antagonists. The invention includes pharmaceutical compns. and methods of using the compds. for the treatment of these diseases. The invention further includes processes for the preparation of compds. according to formula I. Compds. of formula I wherein R1 is (un)substituted Ph, (un)substituted pyridinyl, (un)substituted pyrimidinyl and substituted dimethylpyrazolyl; one of the R2 and R3 is H, the other is substituted C3-5 heterocyclyl; R2R3 taken together to form (CH2)mNR4(CH2)n; m and n are independently 1-2; R4 is C1-6 (halo)alkyl, CH2CN, acyl and sulfonyl; R7 is H and C1-3 alkyl; Ar is (un)substituted phenyl; and their pharmaceutically acceptable salts, are claimed. Example compound II was prepared by acylation of (4,6-dimethylpyrimidin-5-yl)-(5-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}hexahydropyrrolo[3,4-c]pyrrol-2-yl)methanone with benzoyl chloride. All the invention compds. were evaluated for their CCR5 receptor antagonistic activity. From the assay, it was determined that II exhibited an IC50 value of 0.0776 μ M.

IT 1014703-33-3P
 RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prophetic intermediate; preparation of octahydropyrrolo[3,4-c]pyrrole derivs. as antiviral agents useful in the treatment of HIV infection)
 RN 1014703-33-3 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-(3-fluorophenyl)-4-[2-(methoxymethylamino)-2-oxoethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



L3 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:472146 CAPLUS Full-text
DOCUMENT NUMBER: 143:26500
TITLE: Preparation of piperidinylpyrrolidinones for treatment
of conditions mediated by tachykinins and the
serotonin reuptake transporter
INVENTOR(S): Alvaro, Giuseppe; Di Fabio, Romano; Giovannini,
Riccardo; Paio, Alfredo; Tranquillini, Maria Elvira;
Mattioli, Lucia
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

OTHER SOURCE(S): MARPAT 143:26500
GT



T

AB Title compds. [I; dotted line = optional double bond; R = (substituted) Ph, methylenedioxyphenyl, benzofuryl; R2 = H, alkyl; R3 = H, OH, alkyl; R4 = H; R3R4 = O, CH2; R5 = (substituted) Ph, naphthyl, 9-10 membered fused bicyclic heterocyclyl, 5-6 membered heteroaryl; R6, R7 = H, cyano, alkyl; R8 = (CH2)_rR10; R9 = H, halo, C3-7 cycloalkyl, OH, NO2, cyano, (substituted) alkyl; R10 = H, C3-7 cycloalkyl; n = 1, 2; r = 1-4], were prepared Thus, 1,1-dimethylethyl 4-[1-[(3,5-dichlorophenyl)methyl]-5-hydroxy-2-oxo-3-pyrrolidinyl]-4-(4-fluorophenyl)-1-piperidinecarboxylate (preparation given) was heated with CF₃CO₂H at 60° for 3 h to give 1-[(3,5-dichlorophenyl)methyl]-3-[4-(4-fluorophenyl)-4-piperidinyl]-1,5-dihydro-2H-pyrrol-2-one. The latter and other I showed NK1 receptor binding with pK_i = 8.65-8.07.

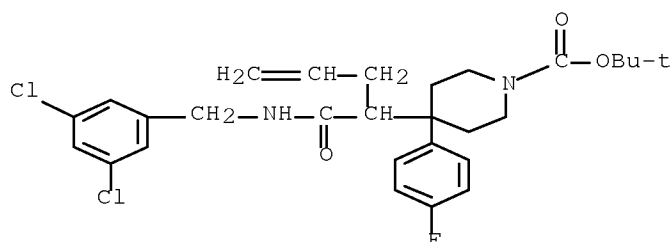
IT 852880-42-3P 852880-43-4P 852880-44-5P
852880-45-6P 852880-46-7P 852880-47-8P
852880-48-9P 852880-64-9P 852880-65-0P
852880-66-1P 852880-67-2P 852880-79-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of piperidinylpyrrolidinones for treatment of conditions mediated by tachykinins and the serotonin reuptake transporter)

RN 852880-42-3 CAPLUS

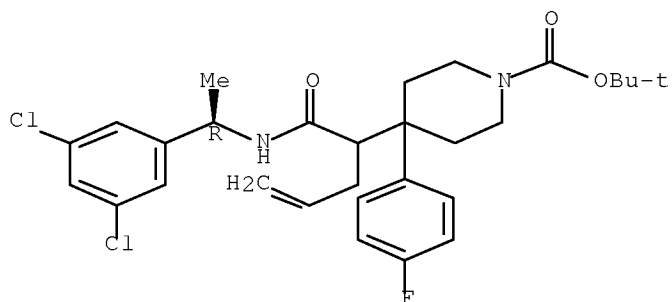
CN 1-Piperidinecarboxylic acid, 4-[1-[[[(3,5-dichlorophenyl)methyl]amino]carbonyl]-3-buten-1-yl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 852880-43-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[1-[[[(1R)-1-(3,5-dichlorophenyl)ethyl]amino]carbonyl]-3-buten-1-yl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

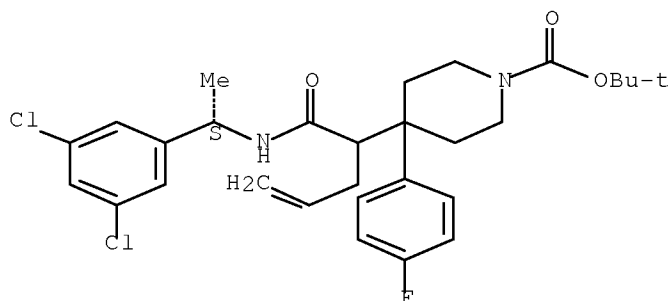
Absolute stereochemistry.



RN 852880-44-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[1-[[[(1S)-1-(3,5-dichlorophenyl)ethyl]amino]carbonyl]-3-buten-1-yl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

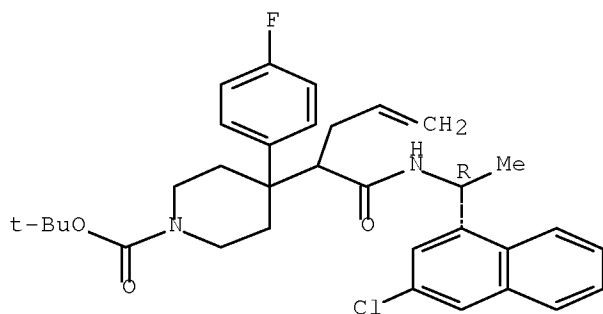
Absolute stereochemistry.



RN 852880-45-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[1-[[[(1R)-1-(3-chloro-1-naphthalenyl)ethyl]amino]carbonyl]-3-buten-1-yl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

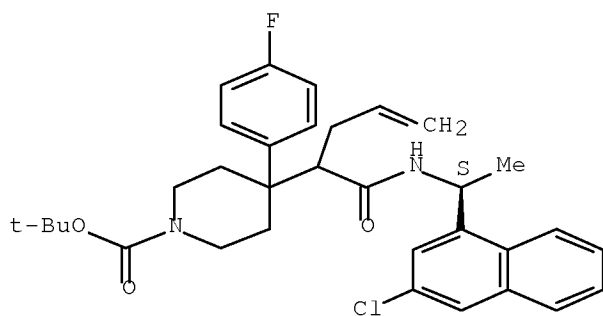
Absolute stereochemistry.



RN 852880-46-7 CAPLUS

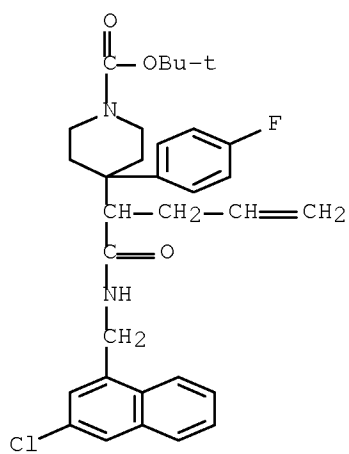
CN 1-Piperidinecarboxylic acid, 4-[1-[[[(1S)-1-(3-chloro-1-naphthalenyl)ethyl]amino]carbonyl]-3-buten-1-yl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



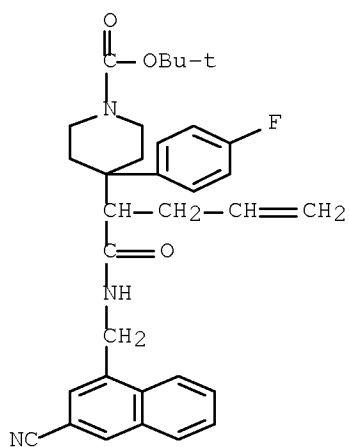
RN 852880-47-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[1-[[[(3-chloro-1-naphthalenyl)methyl]amino]carbonyl]-3-buten-1-yl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



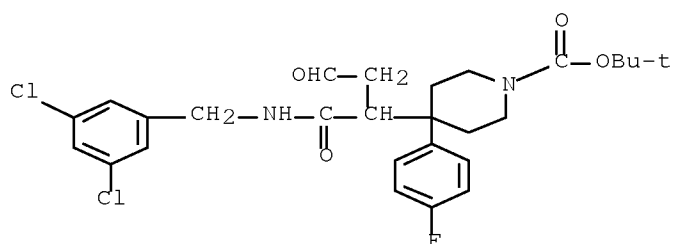
RN 852880-48-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[1-[[[(3-cyano-1-naphthalenyl)methyl]amino]carbonyl]-3-buten-1-yl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 852880-64-9 CAPLUS

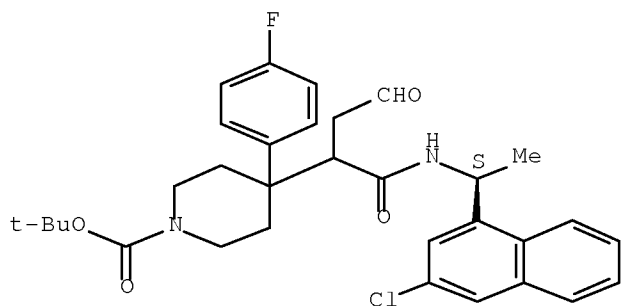
CN 1-Piperidinecarboxylic acid, 4-[1-[[[(3,5-dichlorophenyl)methyl]amino]carbonyl]-3-oxopropyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 852880-65-0 CAPLUS

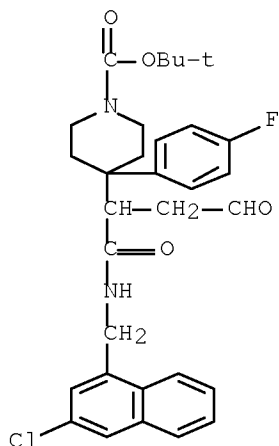
CN 1-Piperidinecarboxylic acid, 4-[1-[[[(1S)-1-(3-chloro-1-naphthalenyl)ethyl]amino]carbonyl]-3-oxopropyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



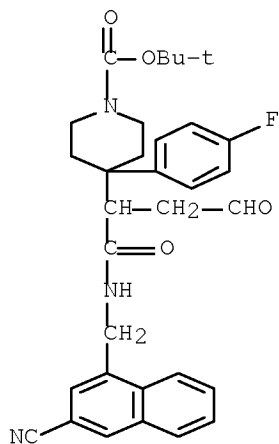
RN 852880-66-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[1-[[[(3-chloro-1-naphthalenyl)methyl]amino]carbonyl]-3-oxopropyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



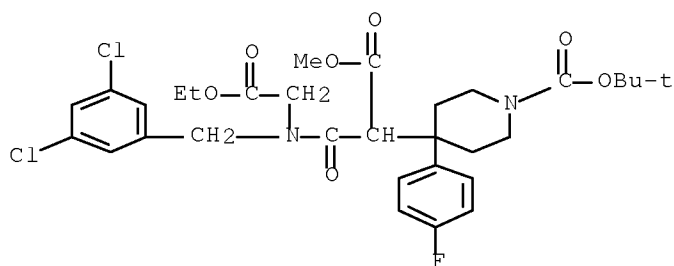
RN 852880-67-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[1-[[[(3-cyano-1-naphthalenyl)methyl]amino]carbonyl]-3-oxopropyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 852880-79-6 CAPLUS

CN 4-Piperidineacetic acid, α -[[[(3,5-dichlorophenyl)methyl](2-ethoxy-2-oxoethyl)amino]carbonyl]-1-[(1,1-dimethylethoxy)carbonyl]-4-(4-fluorophenyl)-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:996128 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 141:424113

TITLE: Preparation of azaheterocyclylalkanamides, particularly piperidineacetamides, as selective serotonin transporter and NK1 antagonists for the treatment of tachykinin-mediated disorders

INVENTOR(S): Alvaro, Giuseppe; Arista, Luca; Cardullo, Francesca; D'Adamo, Lucilla; Feriani, Aldo; Giovannini, Riccardo; Seri, Catia

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 126 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

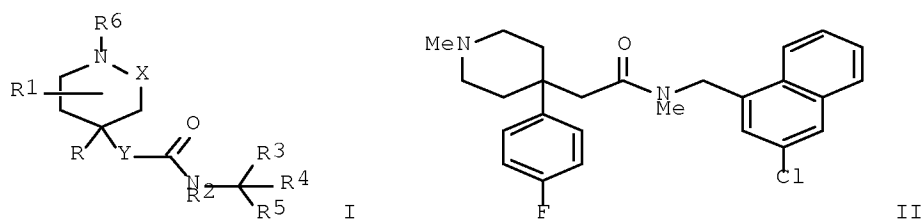
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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NO 2005005835	A	20060207	NO 2005-5835	20051208
US 20070073061	A1	20070329	US 2006-554822	20060601
PRIORITY APPLN. INFO.:			GB 2003-10724	A 20030509

OTHER SOURCE(S):
GI

MARPAT 141:424113



AB Compds. I [R = (un)substituted Ph, 5-benzodioxolyl, 2,3-dihydrobenzofuran- 5-yl, 5-benzofuranyl; R1 = H, halo, NC, (un)substituted alkyl, alkenyl; R2 = H, alkyl; R3, R4 = H, alkyl or R3R4 = cycloalkyl; R5 = (un)substituted Ph, naphthyl, fused bicyclic heterocyclyl, heteroaryl; R6 = H, terminally-substituted alkyl; R7 = halo, NC, alkyl, alkoxy, F3C, F3CO; X = (CH2)n; Y = (CH2)m; m = 0, 1; n = 1-4] such as II are prepared as selective inhibitors of the serotonin transporter protein, and in the treatment of disorders mediated by tachykinins such as substance P and neurokinins. For example, 3-chloro-1-naphthalenecarboxaldehyde undergoes reductive amination with methylamine to yield 3-chloro-1- (methylaminomethyl)naphthalene; coupling with 1-Boc-4-(4-fluorophenyl)-4- piperidineacetic acid, removal of the Boc group with trifluoroacetic acid, and N-methylation of the piperidine with formaldehyde and sodium triacetoxyborohydride yields II. Compds. I are selective NK1 receptor antagonists (no data). Methods for the preparation of I are claimed.

IT 796112-65-7P 796112-66-8P 796112-67-9P
796112-68-0P 796112-69-1P 796112-70-4P
796112-71-5P 796112-72-6P 796112-73-7P
796112-74-8P 796112-75-9P 796112-76-0P
796112-77-1P 796112-78-2P 796112-79-3P
796112-80-6P 796112-83-9P 796112-84-0P
796112-85-1P 796112-86-2P 796112-87-3P
796112-88-4P 796112-91-9P 796112-92-0P
796112-93-1P 796112-94-2P 796112-95-3P
796112-98-6P 796112-99-7P 796113-00-3P
796113-01-4P 796113-02-5P 796113-03-6P
796113-04-7P 796113-05-8P 796113-06-9P
796113-07-0P 796113-08-1P 796113-09-2P
796113-10-5P 796113-11-6P 796113-12-7P
796113-13-8P 796113-14-9P 796113-15-0P
796113-16-1P 796113-17-2P 796113-18-3P
796113-21-8P 796113-22-9P 796113-25-2P
796113-26-3P 796113-27-4P 796113-28-5P
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796113-33-2P 796113-34-3P 796113-37-6P
796113-38-7P

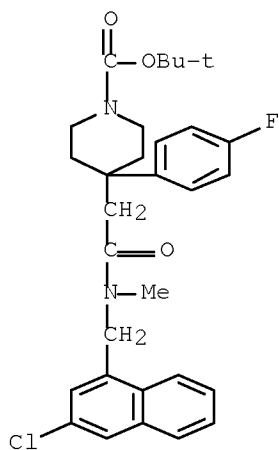
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of azaheterocyclylalkanamides, particularly piperidineacetamides, as selective serotonin transporter and NK1 antagonists for the treatment of tachykinin-mediated disorders)

RN 796112-65-7 CAPLUS

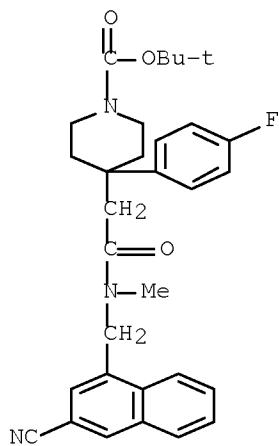
CN 1-Piperidinecarboxylic acid, 4-[2-[(3-chloro-1-

naphthalenyl)methyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-,
1,1-dimethylethyl ester (CA INDEX NAME)



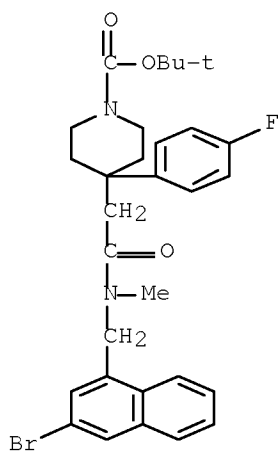
RN 796112-66-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[3-cyano-1-naphthalenyl)methyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-,
1,1-dimethylethyl ester (CA INDEX NAME)



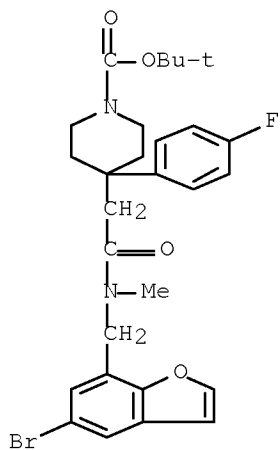
RN 796112-67-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[3-bromo-1-naphthalenyl)methyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-,
1,1-dimethylethyl ester (CA INDEX NAME)



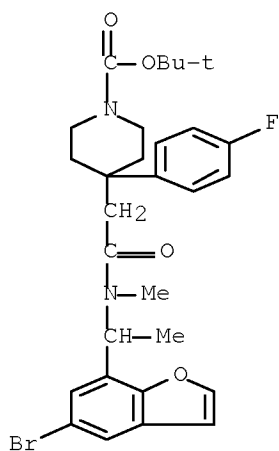
RN 796112-68-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[5-bromo-7-benzofuranyl)methyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



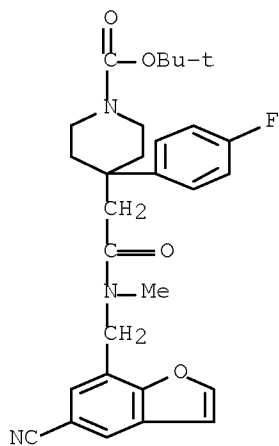
RN 796112-69-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(5-bromo-7-benzofuranyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



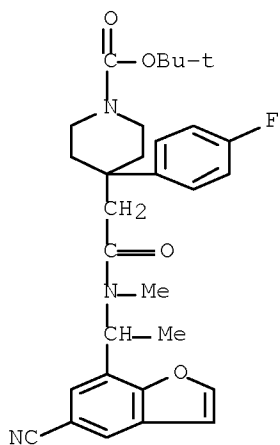
RN 796112-70-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[5-cyano-7-benzofuranyl)methyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 796112-71-5 CAPLUS

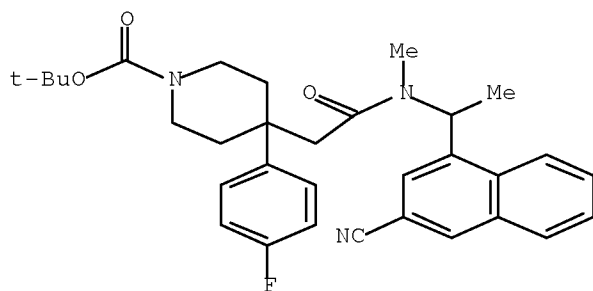
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(5-cyano-7-benzofuranyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 796112-72-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-cyano-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

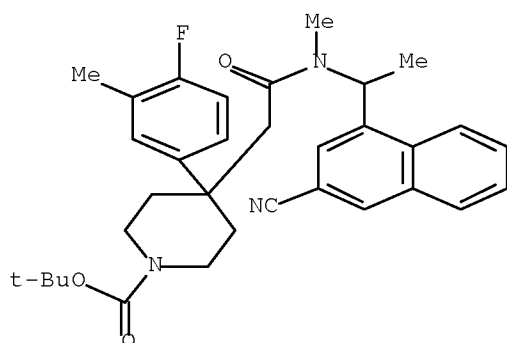
Rotation (-).



RN 796112-73-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-cyano-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluoro-3-methylphenyl)-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

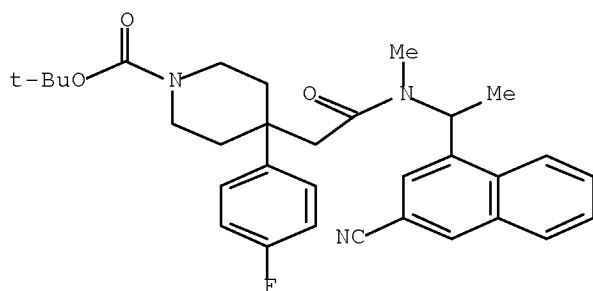
Rotation (-).



RN 796112-74-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-cyano-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

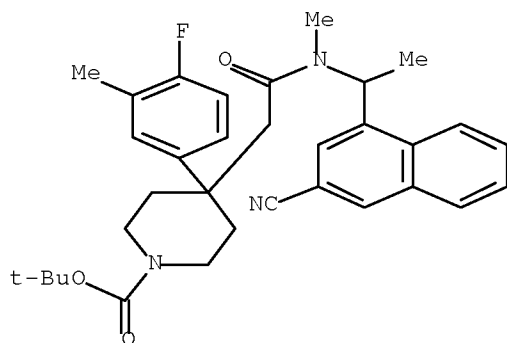
Rotation (+).



RN 796112-75-9 CAPLUS

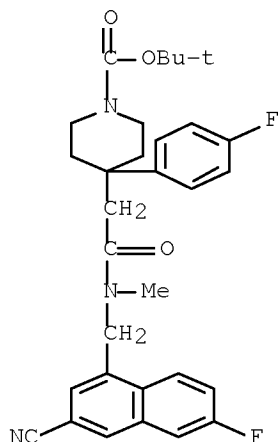
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-cyano-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluoro-3-methylphenyl)-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

Rotation (+).



RN 796112-76-0 CAPLUS

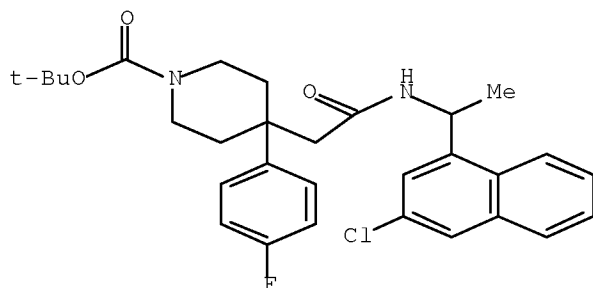
CN 1-Piperidinecarboxylic acid, 4-[2-[[3-cyano-6-fluoro-1-naphthalenyl)methyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 796112-77-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

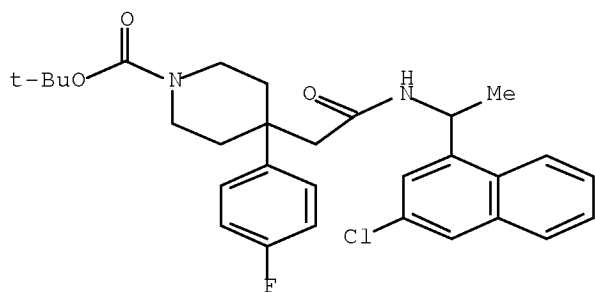
Rotation (+).



RN 796112-78-2 CAPLUS

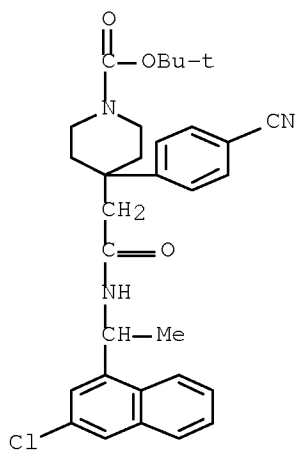
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

Rotation (-).



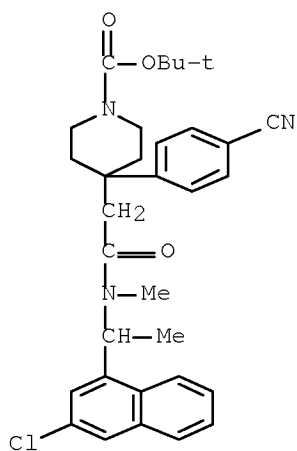
RN 796112-79-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-cyanophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 796112-80-6 CAPLUS

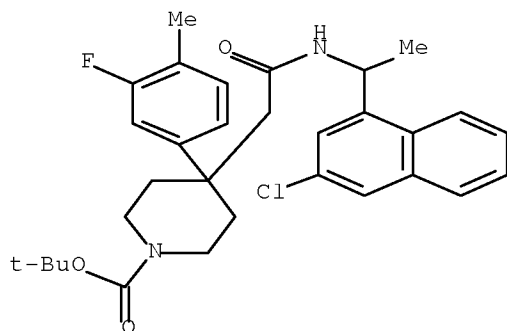
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-cyanophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 796112-83-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(3-fluoro-4-methylphenyl)-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

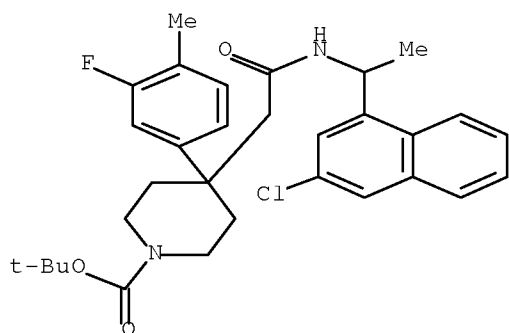
Rotation (+).



RN 796112-84-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(3-fluoro-4-methylphenyl)-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

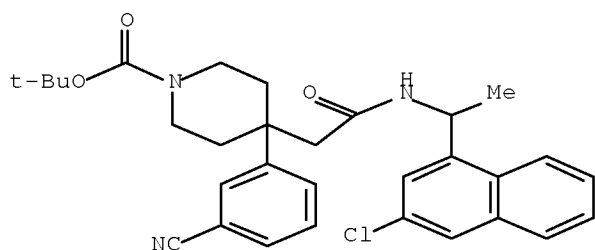
Rotation (-).



RN 796112-85-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(3-cyanophenyl)-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

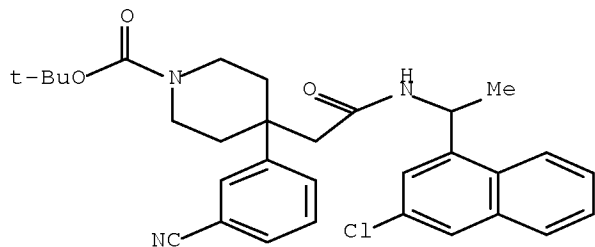
Rotation (+).



RN 796112-86-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(3-cyanophenyl)-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

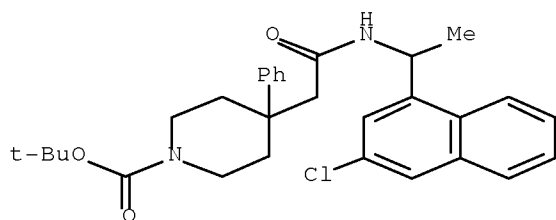
Rotation (-).



RN 796112-87-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-phenyl-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

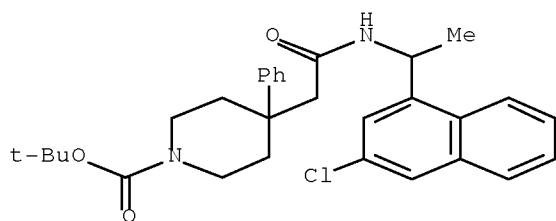
Rotation (+).



RN 796112-88-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-phenyl-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

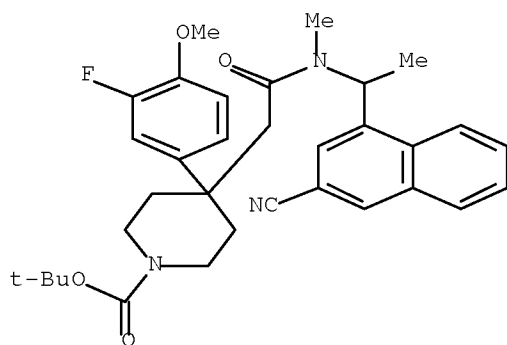
Rotation (-).



RN 796112-91-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-cyano-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(3-fluoro-4-methoxyphenyl)-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

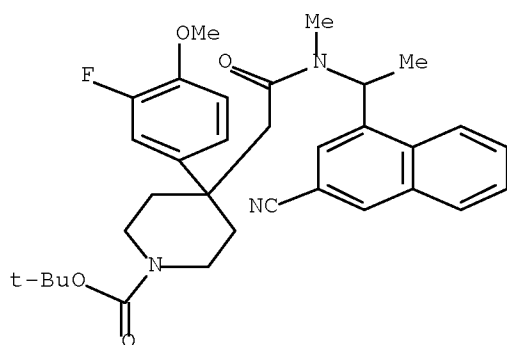
Rotation (+).



RN 796112-92-0 CAPLUS

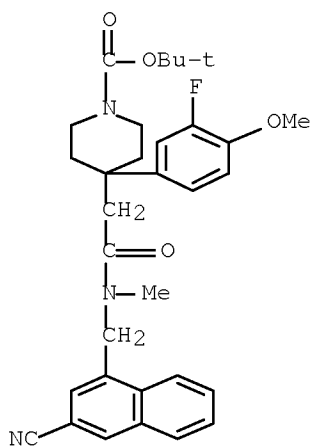
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-cyano-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(3-fluoro-4-methoxyphenyl)-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

Rotation (-).



RN 796112-93-1 CAPLUS

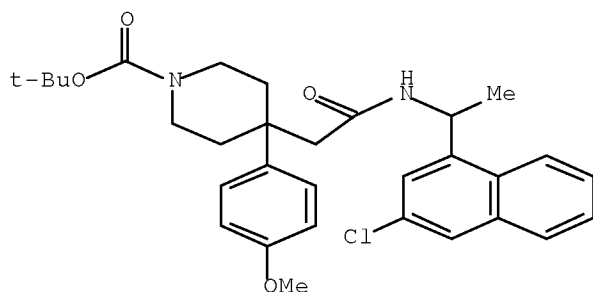
CN 1-Piperidinecarboxylic acid, 4-[2-[[[3-cyano-1-naphthalenyl)methyl]methylamino]-2-oxoethyl]-4-(3-fluoro-4-methoxyphenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 796112-94-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-methoxyphenyl)-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

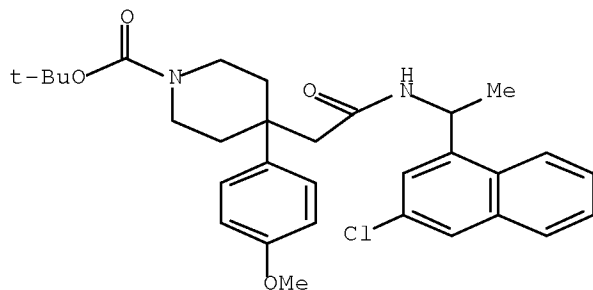
Rotation (+).



RN 796112-95-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-methoxyphenyl)-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

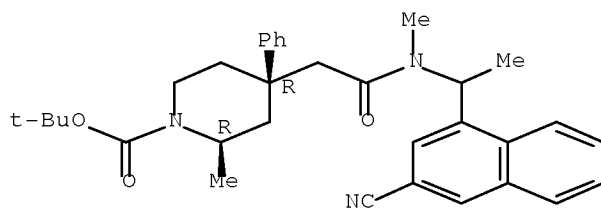
Rotation (-).



RN 796112-98-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-cyano-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-2-methyl-4-phenyl-, 1,1-dimethylethyl ester, (2R,4R)-rel- (CA INDEX NAME)

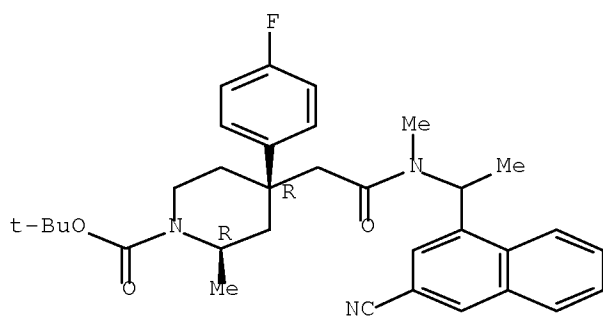
Relative stereochemistry.



RN 796112-99-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-cyano-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-2-methyl-, 1,1-dimethylethyl ester, (2R,4R)-rel- (CA INDEX NAME)

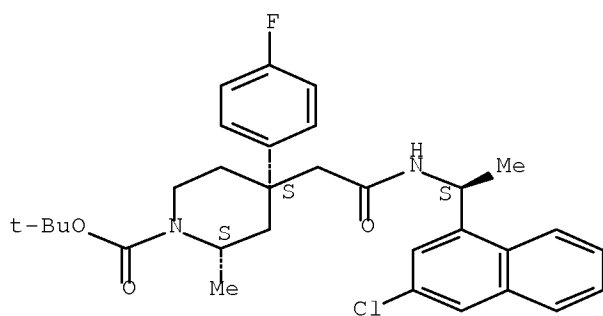
Relative stereochemistry.



RN 796113-00-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[(1S)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-fluorophenyl)-2-methyl-, 1,1-dimethylethyl ester, (2S,4S)- (CA INDEX NAME)

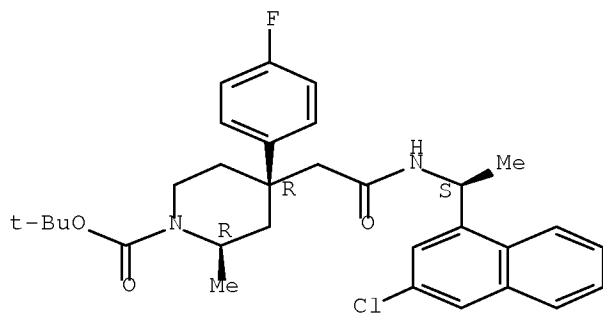
Absolute stereochemistry.



RN 796113-01-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[(1S)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-fluorophenyl)-2-methyl-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

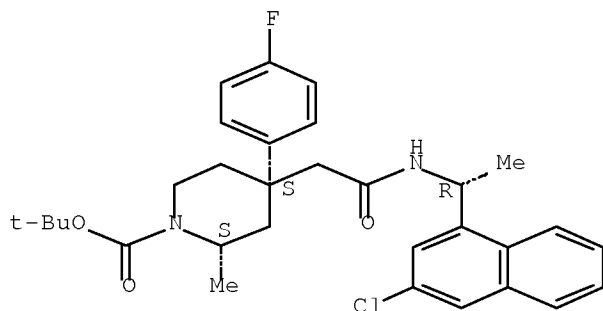
Absolute stereochemistry.



RN 796113-02-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[(1R)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-fluorophenyl)-2-methyl-, 1,1-dimethylethyl ester, (2S,4S)- (CA INDEX NAME)

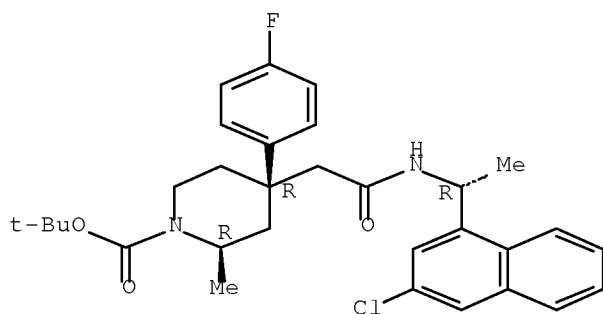
Absolute stereochemistry.



RN 796113-03-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[(1R)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-fluorophenyl)-2-methyl-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

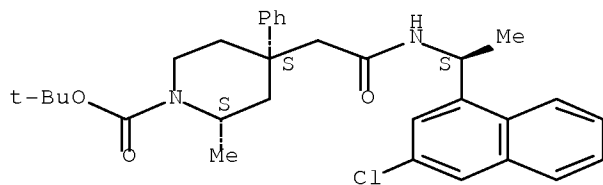
Absolute stereochemistry.



RN 796113-04-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[(1S)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-2-methyl-4-phenyl-, 1,1-dimethylethyl ester, (2S,4S)- (CA INDEX NAME)

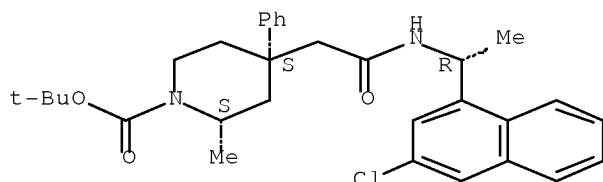
Absolute stereochemistry.



RN 796113-05-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[(1R)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-2-methyl-4-phenyl-, 1,1-dimethylethyl ester, (2S,4S)- (CA INDEX NAME)

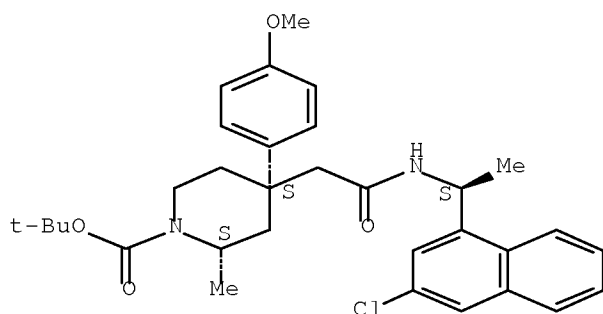
Absolute stereochemistry.



RN 796113-06-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[(1S)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-methoxyphenyl)-2-methyl-, 1,1-dimethylethyl ester, (2S,4S)- (CA INDEX NAME)

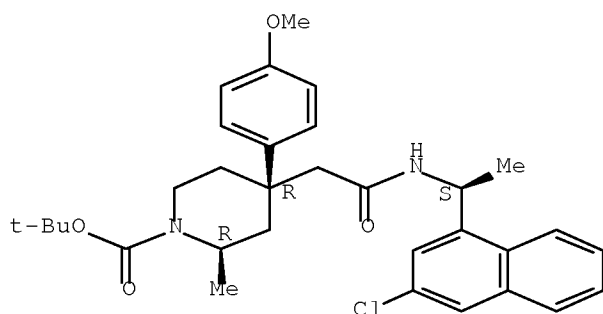
Absolute stereochemistry.



RN 796113-07-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[(1S)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-methoxyphenyl)-2-methyl-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

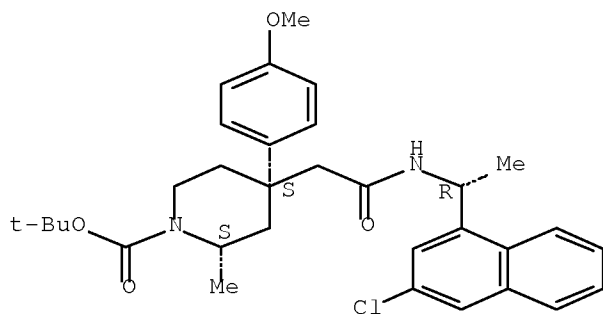
Absolute stereochemistry.



RN 796113-08-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[(1R)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-methoxyphenyl)-2-methyl-, 1,1-dimethylethyl ester, (2S,4S)- (CA INDEX NAME)

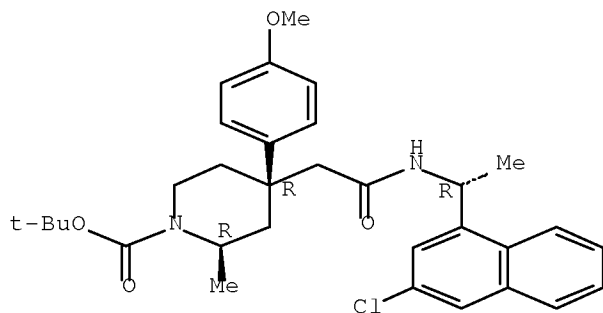
Absolute stereochemistry.



RN 796113-09-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[(1R)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-methoxyphenyl)-2-methyl-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

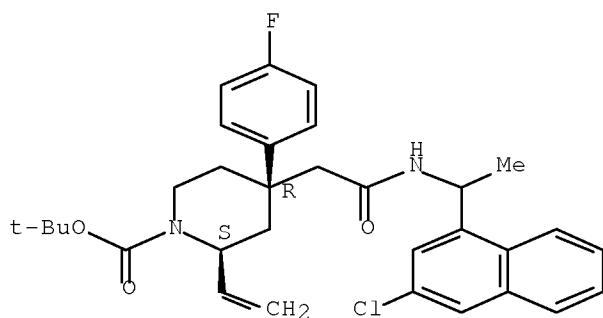
Absolute stereochemistry.



RN 796113-10-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-2-ethenyl-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester, (2R,4S)-rel- (CA INDEX NAME)

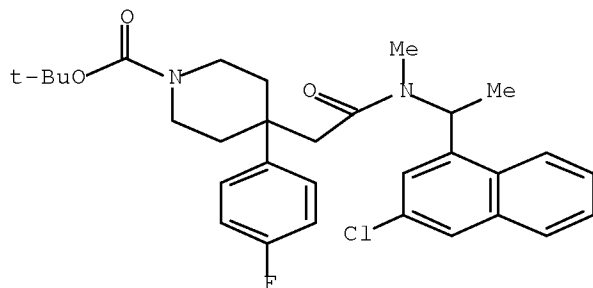
Relative stereochemistry.



RN 796113-11-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

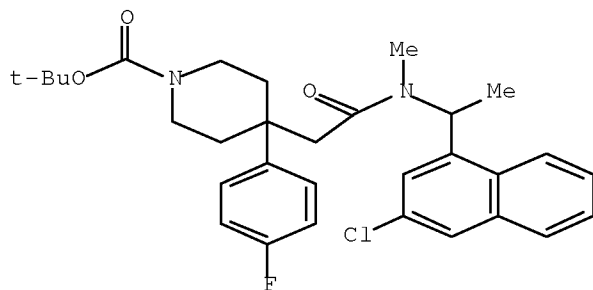
Rotation (+).



RN 796113-12-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

Rotation (-).

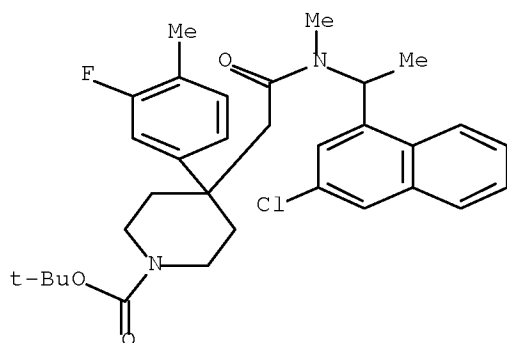


RN 796113-13-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-

naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(3-fluoro-4-methylphenyl)-,
1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

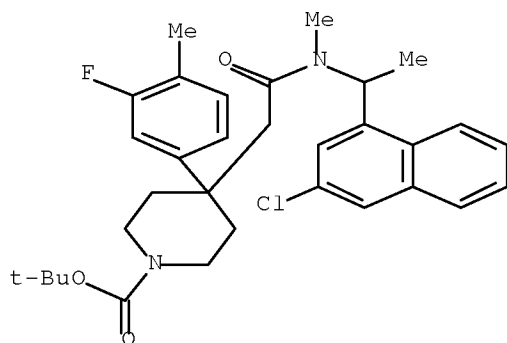
Rotation (+).



RN 796113-14-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(3-fluoro-4-methylphenyl)-,
1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

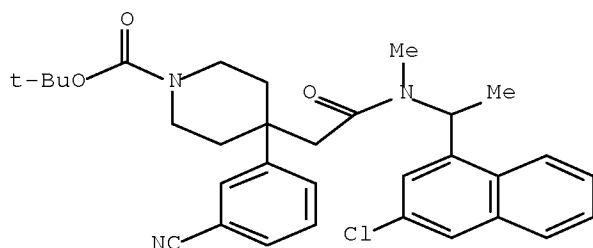
Rotation (-).



RN 796113-15-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(3-cyanophenyl)-,
1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

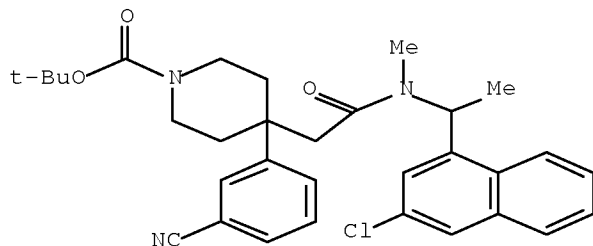
Rotation (+).



RN 796113-16-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(3-cyanophenyl)-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

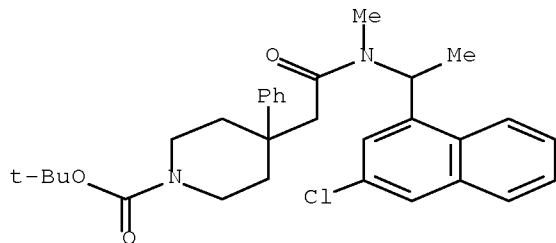
Rotation (-).



RN 796113-17-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-phenyl-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

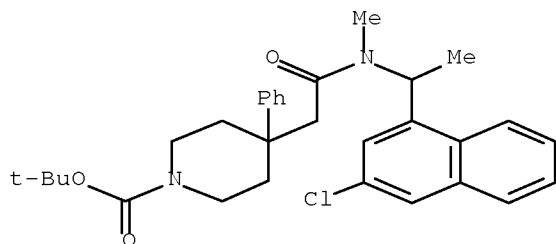
Rotation (+).



RN 796113-18-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-phenyl-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

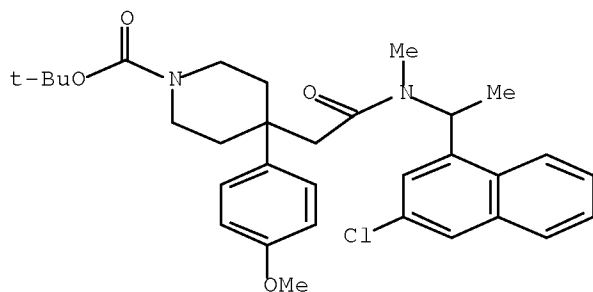
Rotation (-).



RN 796113-21-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-methoxyphenyl)-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

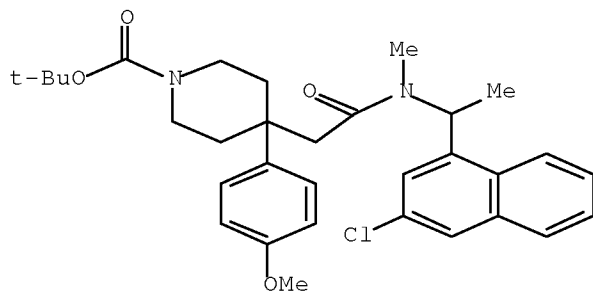
Rotation (+).



RN 796113-22-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-methoxyphenyl)-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

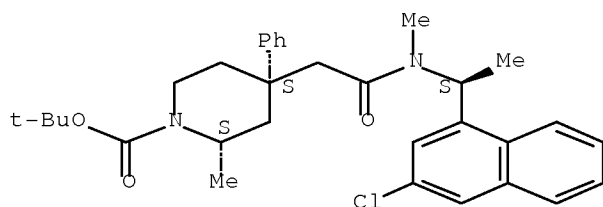
Rotation (-).



RN 796113-25-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-2-methyl-4-phenyl-, 1,1-dimethylethyl ester, (2S,4S)- (CA INDEX NAME)

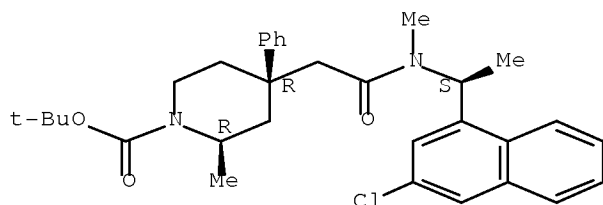
Absolute stereochemistry.



RN 796113-26-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[(1S)-1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-2-methyl-4-phenyl-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

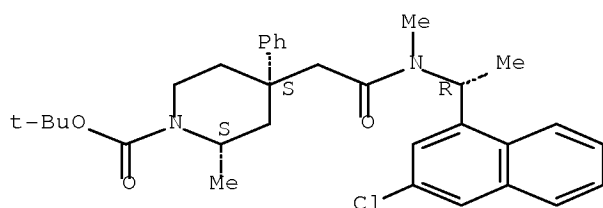
Absolute stereochemistry.



RN 796113-27-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[(1R)-1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-2-methyl-4-phenyl-, 1,1-dimethylethyl ester, (2S,4S)- (CA INDEX NAME)

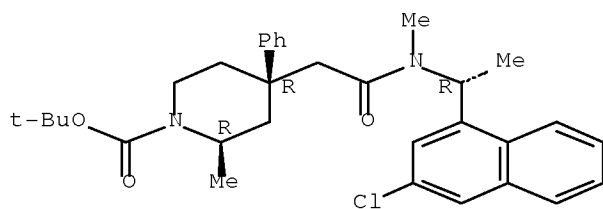
Absolute stereochemistry.



RN 796113-28-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[(1R)-1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-2-methyl-4-phenyl-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

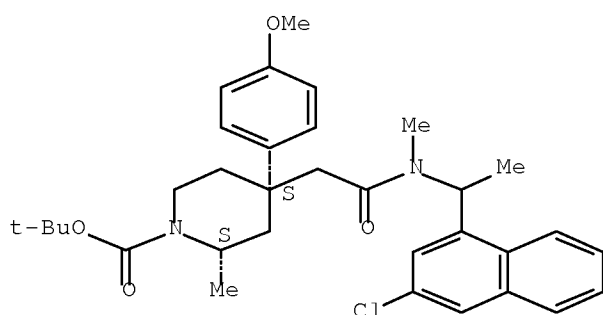
Absolute stereochemistry.



RN 796113-29-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-methoxyphenyl)-2-methyl-, 1,1-dimethylethyl ester, (2R,4R)-rel- (CA INDEX NAME)

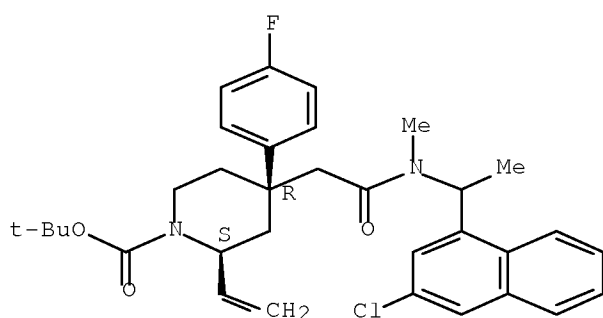
Relative stereochemistry.



RN 796113-31-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-2-ethenyl-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester, (2R,4S)-rel- (CA INDEX NAME)

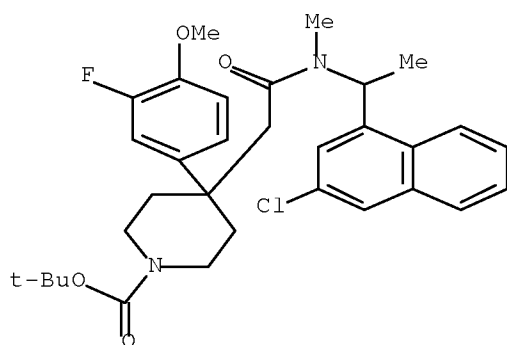
Relative stereochemistry.



RN 796113-32-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(3-fluoro-4-methoxyphenyl)-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

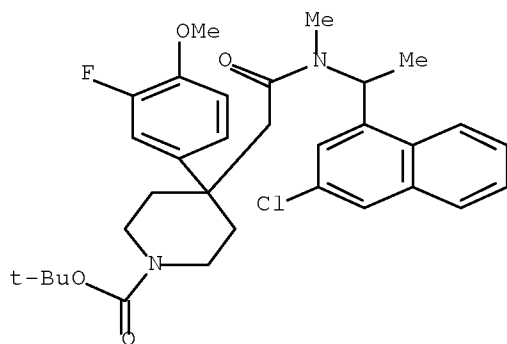
Rotation (+).



RN 796113-33-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(3-fluoro-4-methoxyphenyl)-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

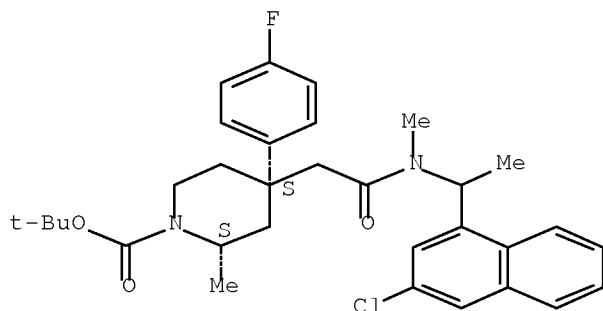
Rotation (-).



RN 796113-34-3 CAPLUS

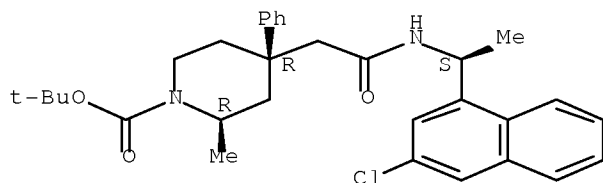
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-2-methyl-, 1,1-dimethylethyl ester, (2R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.



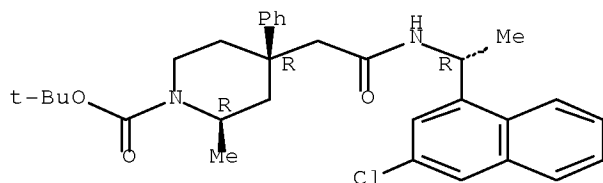
RN 796113-37-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-[[[(1S)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-2-methyl-4-phenyl-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 796113-38-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-[[[(1R)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-2-methyl-4-phenyl-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:41442 CAPLUS Full-text
DOCUMENT NUMBER: 140:111281
TITLE: Preparation of substituted piperidines as NK1 receptor ligands
INVENTOR(S): Alvaro, Giuseppe; Cardullo, Francesca; Di, Fabio Romano; Giovannini, Riccardo; Piga, Elisabetta; Tranquillini, Maria Elvira
PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Di Fabio, Romano
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004005256	A2	20040115	WO 2003-EP7127	20030702
WO 2004005256	A3	20041014		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003257433 A1 20040123 AU 2003-257433 20030702
 EP 1558577 A2 20050803 EP 2003-762615 20030702

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP 2005535650 T 20051124 JP 2004-518696 20030702
 US 20060128752 A1 20060615 US 2006-520143 20060117

PRIORITY APPLN. INFO.: GB 2002-15393 A 20020703
 GB 2003-6454 A 20030320
 WO 2003-EP7127 W 20030702

OTHER SOURCE(S): MARPAT 140:111281
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R = alkyl, cyano, alkoxy, etc.; R1 = H, halo, cycloalkyl, OH, etc.; R2 = H, alkyl; R3-4 = H, CN, alkyl, etc.; R5 = CF3, SOO-2, alkyl, etc.; R6 = H, alkyl; m = 1-4; n = 1-2; p = 0-3; q = 1-3] are prepared For instance, 4-carboxymethyl-4-(4-fluorophenyl)piperidine-1-carboxylic acid tert-Bu ester (preparation given) is coupled to 3,5- (DMF, EDCI, HOBT) and deprotected (CH2Cl2, TFA) to give II. Example compds. inhibit (rat) serotonin transporter with pIC50 in the range of 7.50 - 5.30. I are useful in the treatment of conditions mediated by tachykinins and/or by selective inhibition of serotonin reuptake transporter protein.

IT 644981-81-7P, 4-[[[3,5-Dichlorobenzyl)methylcarbamoyl)methyl]-4-(4-fluorophenyl)piperidine-1-carboxylic acid tert-butyl ester
 644981-85-1P, 4-[[[3,5-Dichlorobenzyl)(methyl)carbamoyl)methyl]-3-fluoro-4-(4-fluorophenyl)piperidine-1-carboxylic acid tert-butyl ester
 644982-00-3P, 4-[[[3,5-Dichlorobenzyl)(methyl)carbamoyl)methyl]-4-(4-fluoro-2-methylphenyl)piperidine-1-carboxylic acid tert-butyl ester
 644982-01-4P, 4-[[[3,5-Bis(trifluoromethyl)benzyl)(methyl)carbamoyl)methyl]-4-(4-fluoro-2-methylphenyl)piperidine-1-carboxylic acid tert-butyl ester 644982-29-6P, 4-[[[3,5-Dibromobenzyl)(methyl)carbamoyl)methyl]-4-(4-fluorophenyl)piperidine-1-carboxylic acid tert-butyl ester 644982-30-9P, 4-[[[1-(3,5-Dichlorophenyl)ethyl]carbamoyl)methyl]-4-(4-fluorophenyl)piperidine-1-carboxylic acid tert-butyl ester
 644982-31-0P, (S)-4-[[[1-[3,5-Bis(trifluoromethyl)phenyl]ethyl](methyl)carbamoyl)methyl]-4-(4-fluorophenyl)piperidine-1-carboxylic acid tert-butyl ester 644982-33-2P, 4-[[[1-(3,5-Dibromophenyl)ethyl](methyl)carbamoyl)methyl]-4-(4-fluorophenyl)piperidine-1-carboxylic acid tert-butyl ester 644982-34-3P, (R)-4-[[[1-(3,5-Dibromophenyl)ethyl](methyl)carbamoyl)methyl]-4-(4-fluorophenyl)piperidine-1-carboxylic acid tert-butyl ester
 644982-35-4P, (S)-4-[[[1-(3,5-Dibromophenyl)ethyl](methyl)carbamoyl)methyl]-4-(4-fluorophenyl)piperidine-1-carboxylic acid tert-butyl ester
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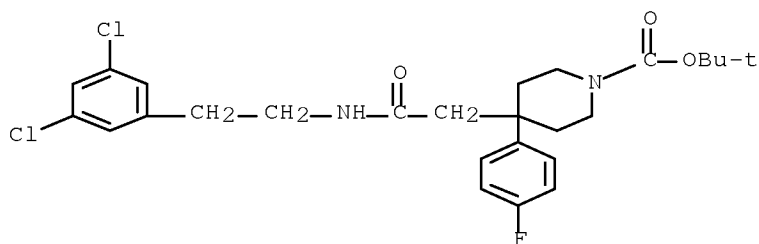
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dibromophenyl)methyl] (methyl) amino]-2-oxoethyl]-4-(3-fluorophenyl)-1-piperidinecarboxylate 644982-84-3P, 1,1-Dimethylethyl 4-[2-[[(3,5-dibromophenyl)methyl] (methyl) amino]-2-oxoethyl]-4-(3,4-difluorophenyl)-1-piperidinecarboxylate 644982-89-8P, 1,1-Dimethylethyl 4-(4-cyanophenyl)-4-[2-[[1-(3,5-dibromophenyl)ethyl] (methyl) amino]-2-oxoethyl]-1-piperidinecarboxylate
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted (homo)piperidines as NK1 receptor ligands)

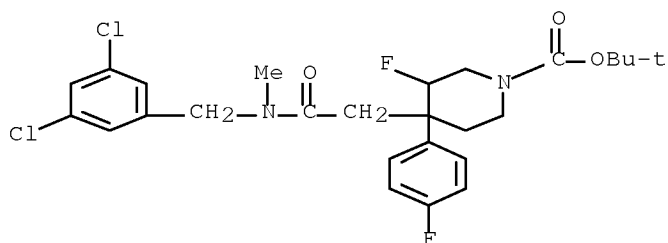
RN 644981-81-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[2-(3,5-dichlorophenyl)ethyl]amino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



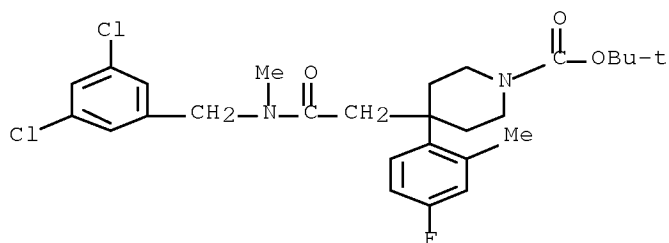
RN 644981-85-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[(3,5-dichlorophenyl)methyl]methylamino]-2-oxoethyl]-3-fluoro-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



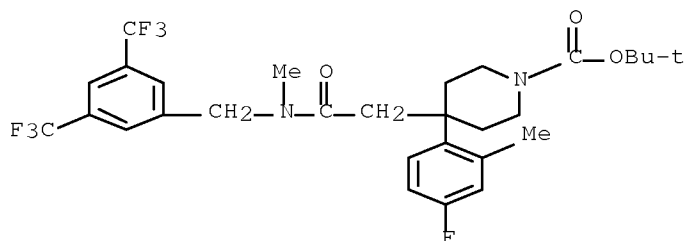
RN 644982-00-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[(3,5-dichlorophenyl)methyl]methylamino]-2-oxoethyl]-4-(4-fluoro-2-methylphenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



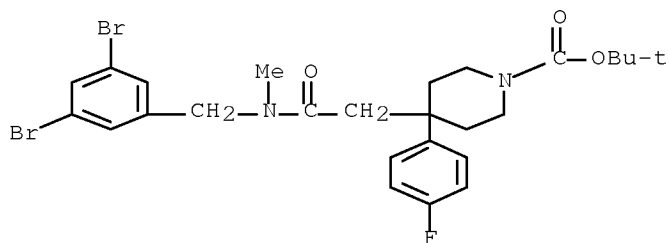
RN 644982-01-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[3,5-bis(trifluoromethyl)phenyl]methyl]methylamino]-2-oxoethyl]-4-(4-fluoro-2-methylphenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



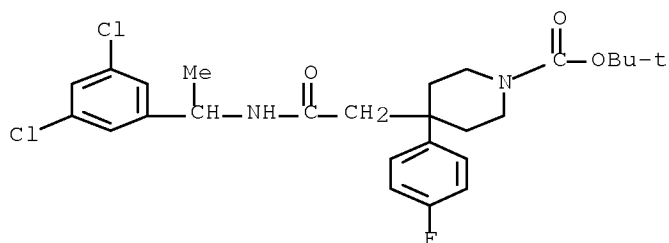
RN 644982-29-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[3,5-dibromophenyl]methyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644982-30-9 CAPLUS

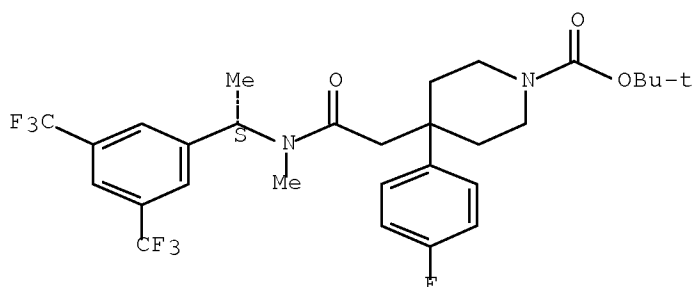
CN 1-Piperidinecarboxylic acid, 4-[2-[[[1-(3,5-dichlorophenyl)ethyl]amino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644982-31-0 CAPLUS

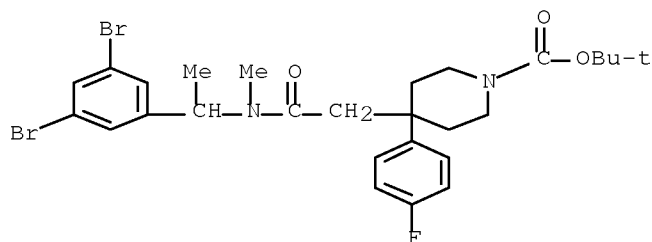
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-bis(trifluoromethyl)phenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 644982-33-2 CAPLUS

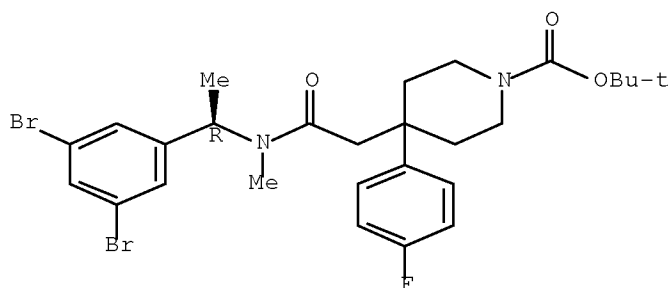
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dibromophenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644982-34-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dibromophenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

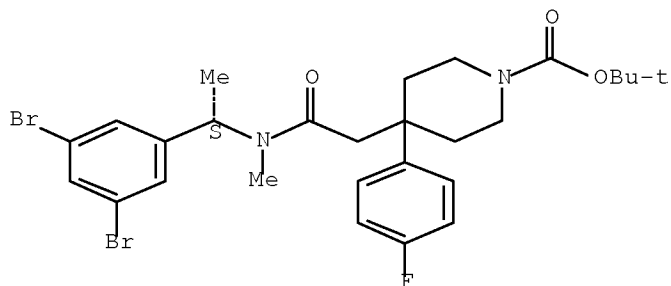
Absolute stereochemistry.



RN 644982-35-4 CAPLUS

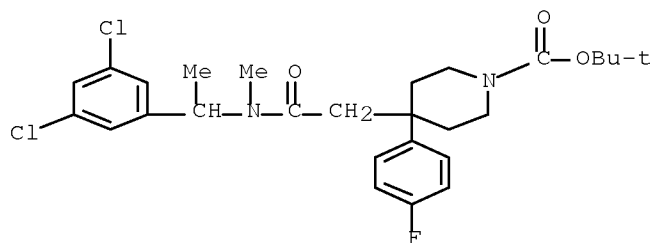
CN 1-Piperidinecarboxylic acid, 4-[2-[[[(1S)-1-(3,5-dibromophenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



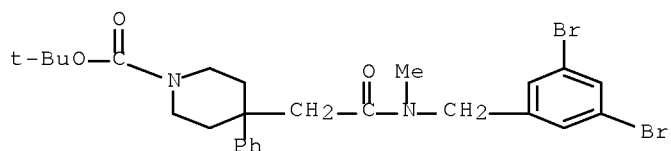
RN 644982-36-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[1-(3,5-dichlorophenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644982-37-6 CAPLUS

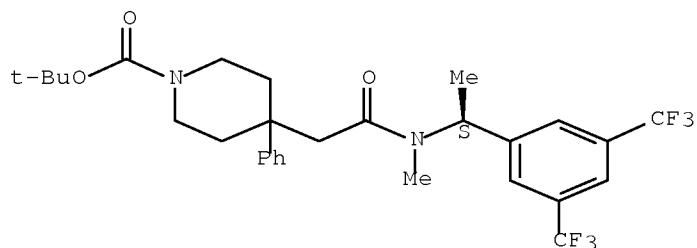
CN 1-Piperidinecarboxylic acid, 4-[2-[[[1-(3,5-dibromophenyl)methyl]methylamino]-2-oxoethyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644982-38-7 CAPLUS

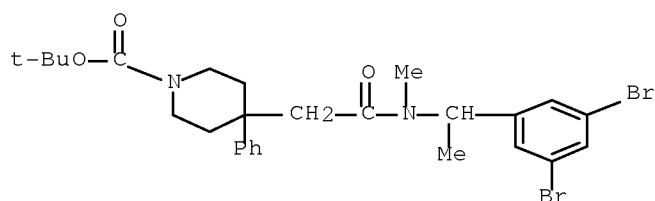
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-bis(trifluoromethyl)phenyl)ethyl)methylamino]-2-oxoethyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 644982-39-8 CAPLUS

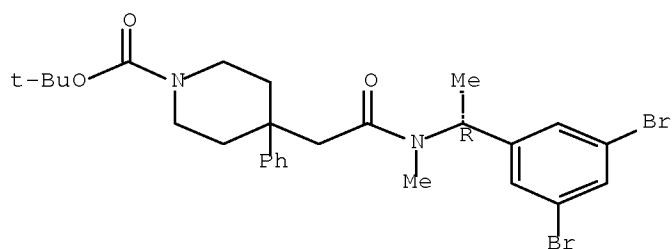
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dibromophenyl)ethyl)methylamino]-2-oxoethyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644982-40-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dibromophenyl)ethyl)methylamino]-2-oxoethyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

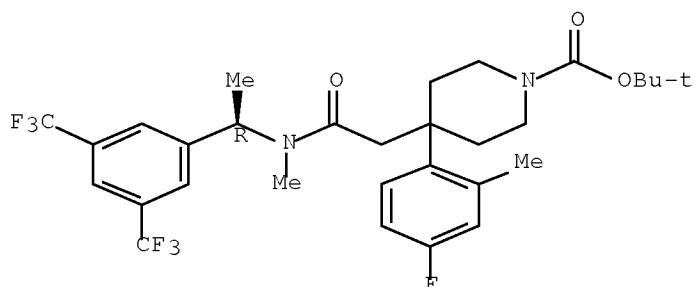
Absolute stereochemistry.



RN 644982-41-2 CAPLUS

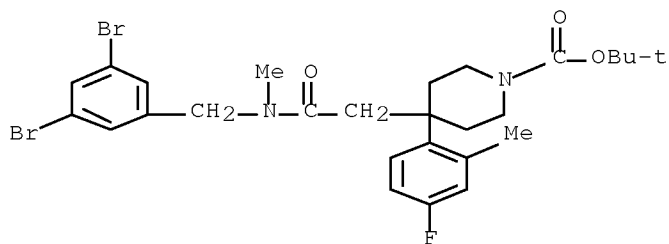
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-bis(trifluoromethyl)phenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluoro-2-methylphenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



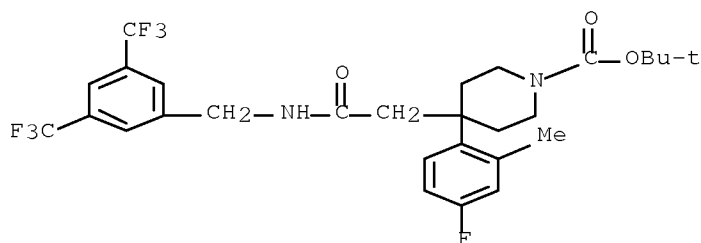
RN 644982-43-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[3,5-dibromophenyl)methyl]methylamino]-2-oxoethyl]-4-(4-fluoro-2-methylphenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



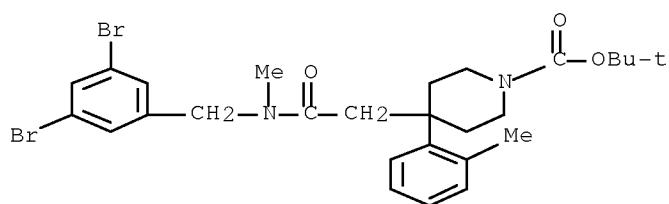
RN 644982-44-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]-2-oxoethyl]-4-(4-fluoro-2-methylphenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



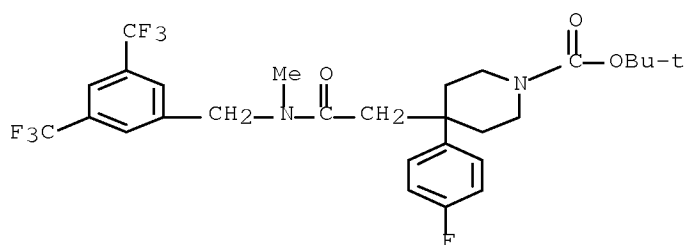
RN 644982-47-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[3,5-dibromophenyl]methyl]methylamino]-2-oxoethyl]-4-(2-methylphenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



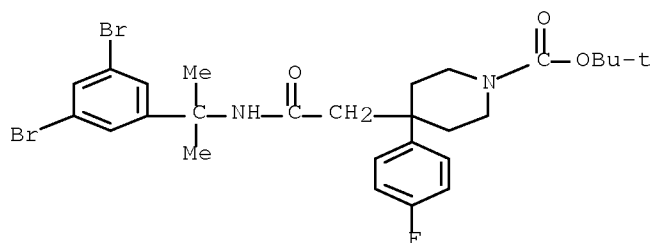
RN 644982-48-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[3,5-bis(trifluoromethyl)phenyl]methyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



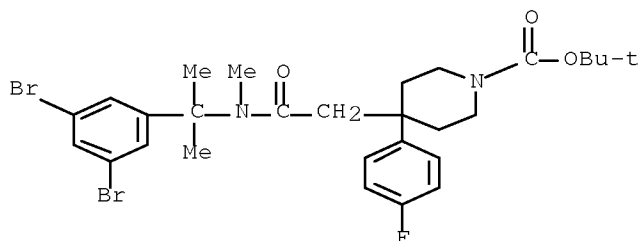
RN 644982-49-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dibromophenyl)-1-methylethyl]amino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644982-50-3 CAPLUS

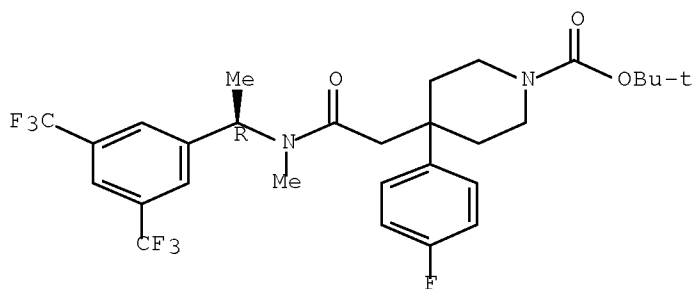
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dibromophenyl)-1-methylethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644982-51-4 CAPLUS

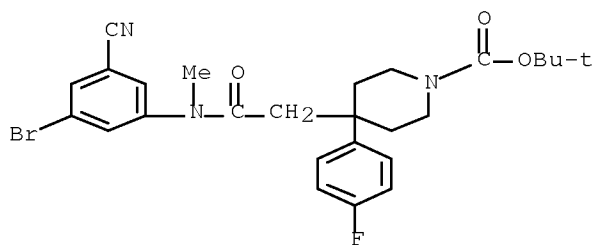
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-bis(trifluoromethyl)phenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



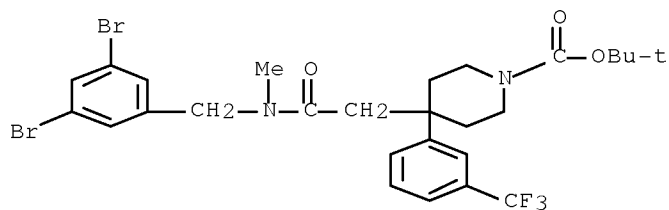
RN 644982-57-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[(3-bromo-5-cyanophenyl)methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



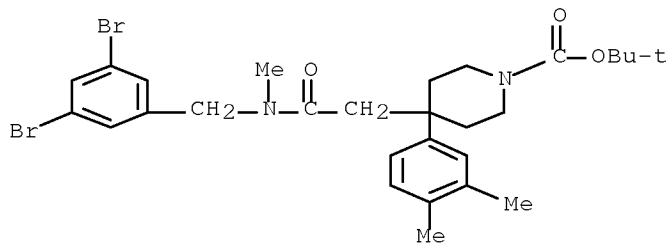
RN 644982-60-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[3-(trifluoromethyl)phenyl)methyl]methylamino]-2-oxoethyl]-4-[3-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



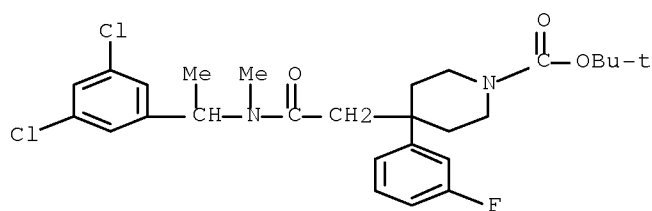
RN 644982-63-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[3,5-dibromophenyl)methyl]methylamino]-2-oxoethyl]-4-(3,4-dimethylphenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



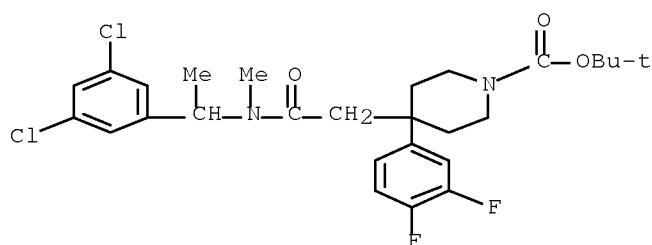
RN 644982-66-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dichlorophenyl)ethyl]methylamino]-2-oxoethyl]-4-(3-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644982-69-4 CAPLUS

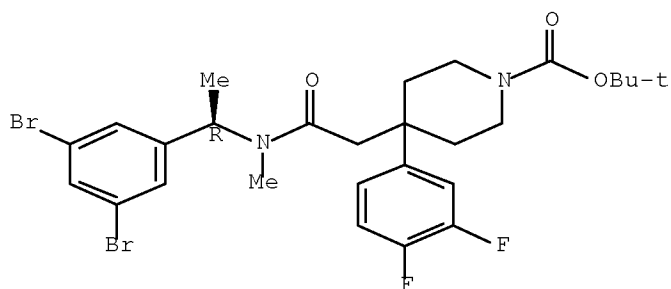
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dichlorophenyl)ethyl]methylamino]-2-oxoethyl]-4-(3,4-difluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644982-70-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dibromophenyl)ethyl]methylamino]-2-oxoethyl]-4-(3,4-difluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

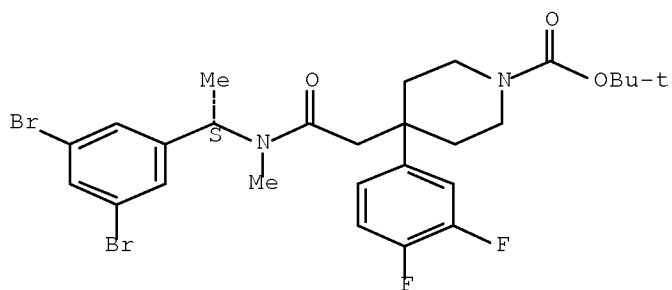
Absolute stereochemistry.



RN 644982-71-8 CAPLUS

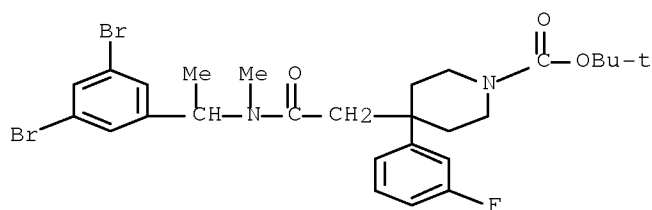
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dibromophenyl)ethyl]methylamino]-2-oxoethyl]-4-(3,4-difluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



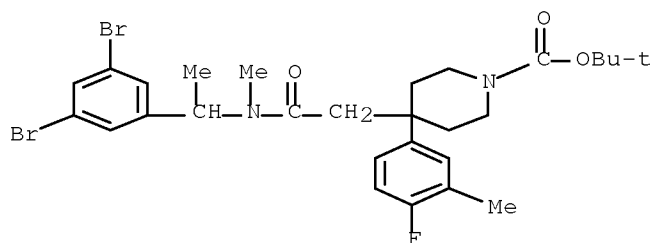
RN 644982-72-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dibromophenyl)ethyl]methylamino]-2-oxoethyl]-4-(3-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



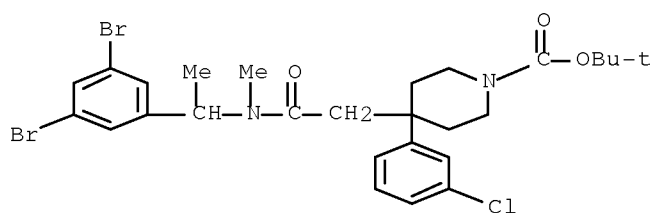
RN 644982-75-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dibromophenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluoro-3-methylphenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



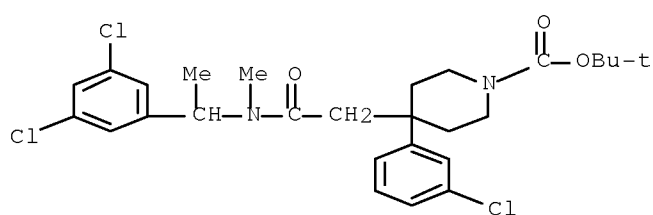
RN 644982-78-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(3-chlorophenyl)-4-[2-[[1-(3,5-dibromophenyl)ethyl]methylamino]-2-oxoethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



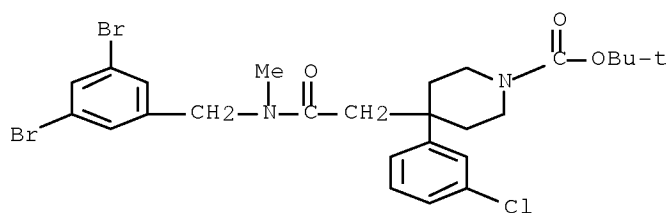
RN 644982-79-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(3-chlorophenyl)-4-[2-[[1-(3,5-dichlorophenyl)ethyl]methylamino]-2-oxoethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



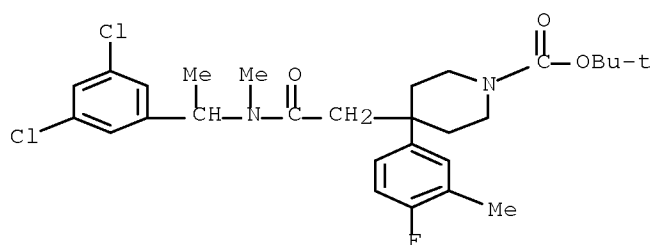
RN 644982-80-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(3-chlorophenyl)-4-[2-[[1-(3,5-dibromophenyl)methyl]methylamino]-2-oxoethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



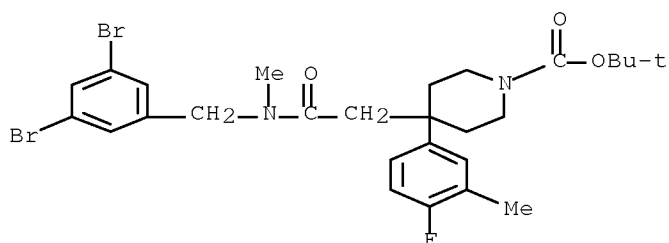
RN 644982-81-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dichlorophenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluoro-3-methylphenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



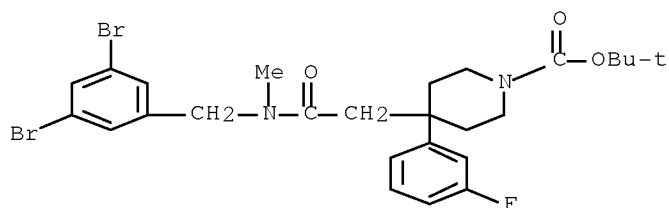
RN 644982-82-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[3,5-dibromophenyl)methyl]methylamino]-2-oxoethyl]-4-(4-fluoro-3-methylphenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



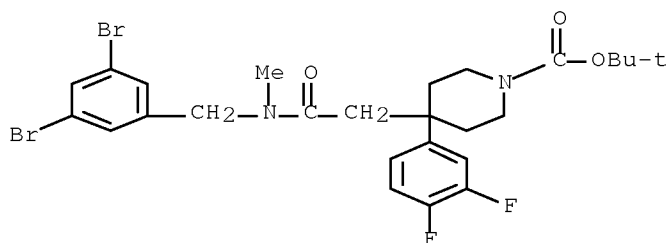
RN 644982-83-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[3,5-dibromophenyl)methyl]methylamino]-2-oxoethyl]-4-(3-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



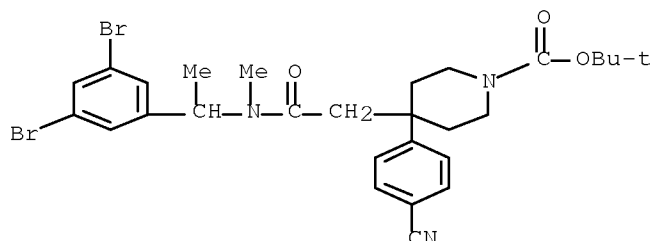
RN 644982-84-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[3,5-dibromophenyl)methyl]methylamino]-2-oxoethyl]-4-(3,4-difluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644982-89-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-cyanophenyl)-4-[2-[[1-(3,5-dibromophenyl)ethyl]methylamino]-2-oxoethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



L3 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:855758 CAPLUS Full-text

DOCUMENT NUMBER: 139:364829

TITLE: Preparation of heterocyclo inhibitors of potassium channel function

INVENTOR(S): Lloyd, John; Jeon, Yoon T.; Finlay, Heather; Yan, Lin; Beaudoin, Serge; Gross, Michael F.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Icagen, Inc.

SOURCE: PCT Int. Appl., 330 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

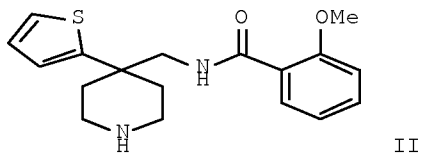
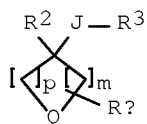
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003088908	A2	20031030	WO 2003-US11807	20030416
WO 2003088908	A3	20040527		

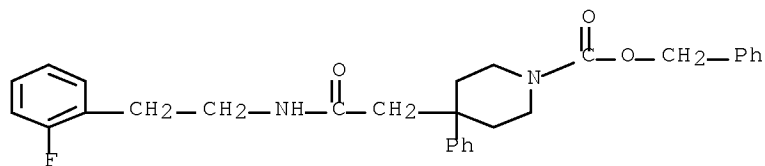
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BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 AU 2003223651 A1 20031103 AU 2003-223651 20030416
 EP 1501467 A2 20050202 EP 2003-719792 20030416
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 JP 2005529114 T 20050929 JP 2003-585661 20030416
 NO 2004004351 A 20041013 NO 2004-4351 20041013
 PRIORITY APPLN. INFO.: US 2002-374279P P 20020419
 WO 2003-US11807 W 20030416
 OTHER SOURCE(S): MARPAT 139:364829
 GI



- AB The title compds. [I; m, p = 0-3 (provided that the sum of m and p is at least 2); Q = NR1, O, S, SO, SO2; R1 = H, C(:W)NR6R7, SO2NR6R7, OCONR6R7, etc.; R2 = heteroaryl, heteroarylalkyl, aryl, etc.; J = a bond, alkylene; R3 = R5, OR5, SO2R5, etc.; R5 = CN, heteroaryl, aryl, etc.; R6, R7 = H, alkyl, OH, etc.; W = (un)substituted NH, N(CO2H), N(CN), N(SO2H), CH(NO2); Rx = H, alkyl, hydroxyalkyl, aryl, etc.], useful as inhibitors of potassium channel function (especially inhibitors of the Kv1 subfamily of voltage gated K+ channels, especially inhibitors Kv1.5 which has been linked to the ultra-rapidly activating delayed rectifier K+ current IKur) in the prevention and treatment of arrhythmia and IKur-associated conditions, were prepared E.g., a multi-step synthesis of II [starting from bis(2-chloroethyl)amine], was given. Pharmaceutical composition comprising the compound I is claimed.
 IT 619288-37-8P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of substituted piperidines as inhibitors of potassium channel function)
 RN 619288-37-8 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[2-[[2-(2-fluorophenyl)ethyl]amino]-2-oxoethyl]-4-phenyl-, phenylmethyl ester (CA INDEX NAME)



IT 619288-03-8P 619288-05-0P 619288-07-2P

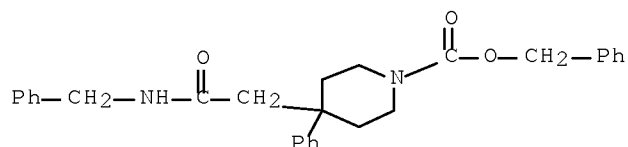
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of substituted piperidines as inhibitors of potassium channel
 function)

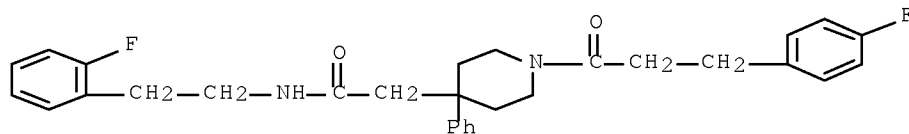
RN 619288-03-8 CAPLUS

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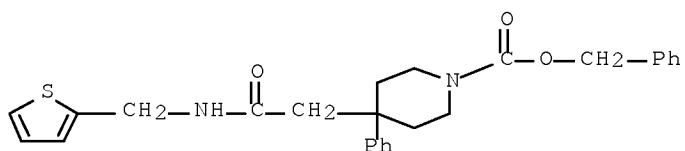
RN 619288-05-0 CAPLUS

CN 4-Piperidineacetamide, N-[2-(2-fluorophenyl)ethyl]-1-[3-(4-fluorophenyl)-1-
 oxopropyl]-4-phenyl- (CA INDEX NAME)



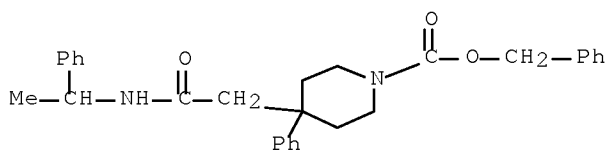
RN 619288-07-2 CAPLUS

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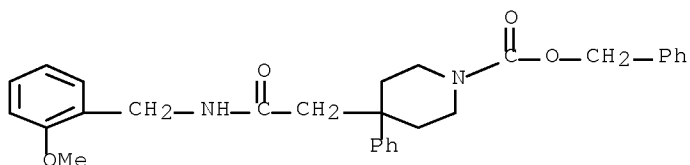
RN 619288-09-4 CAPLUS

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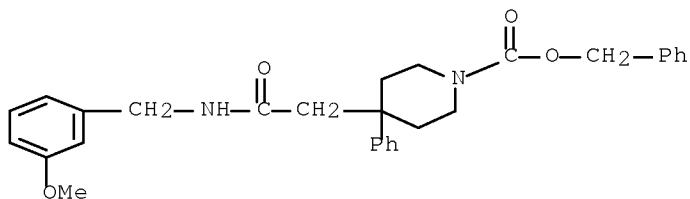
RN 619288-11-8 CAPLUS

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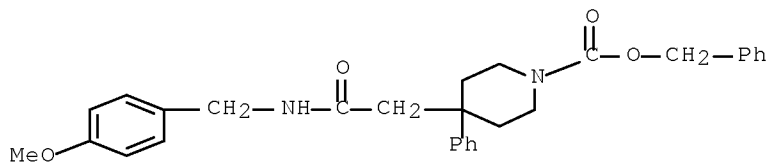
RN 619288-13-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[2-oxo-2-[(3-methoxyphenyl)methyl]amino]-2-oxoethyl]-4-phenyl-, phenylmethyl ester (CA INDEX NAME)



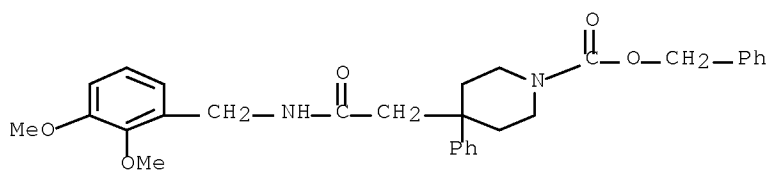
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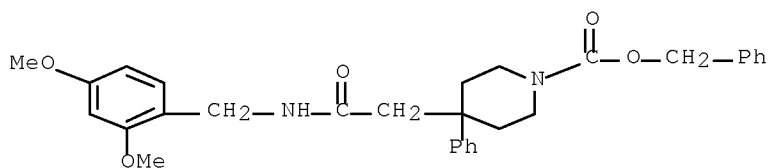
RN 619288-17-4 CAPLUS

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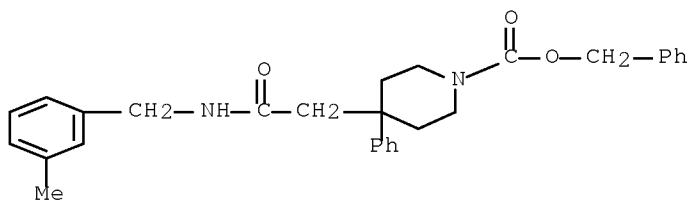
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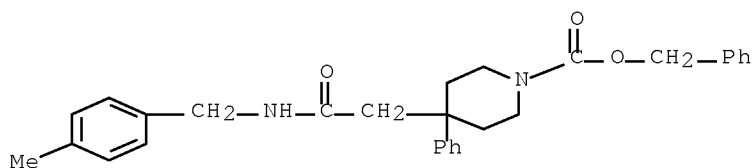
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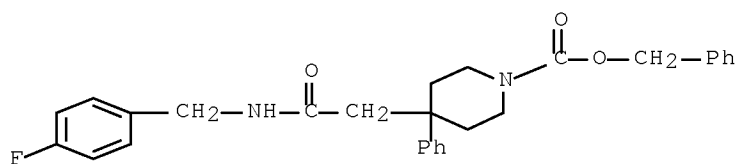
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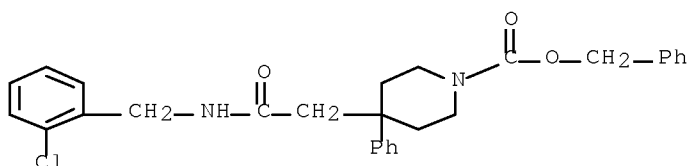
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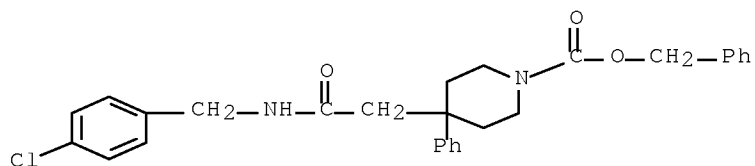
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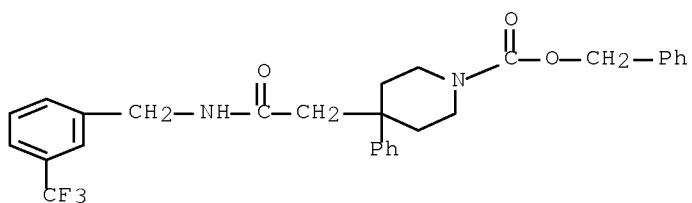
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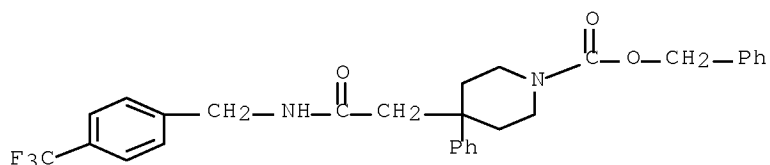
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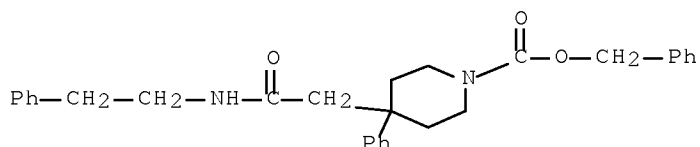
RN 619288-33-4 CAPLUS

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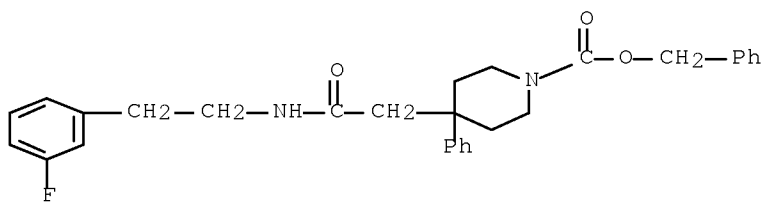
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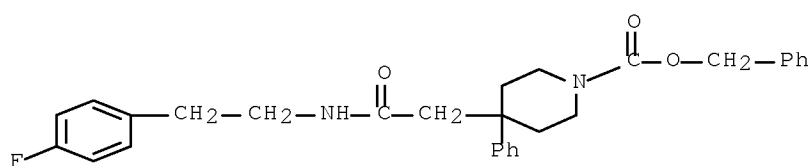
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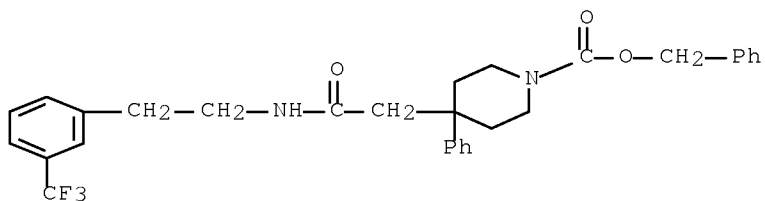
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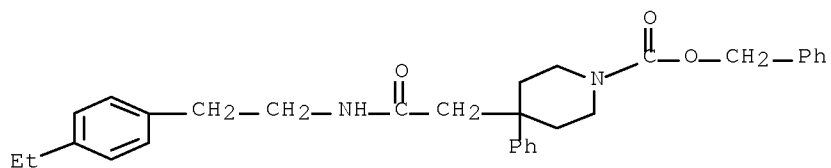
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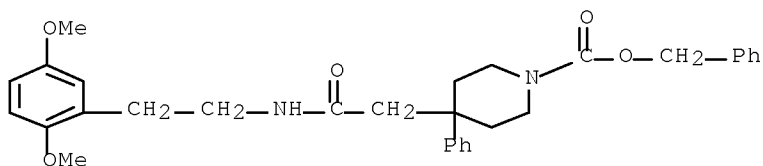
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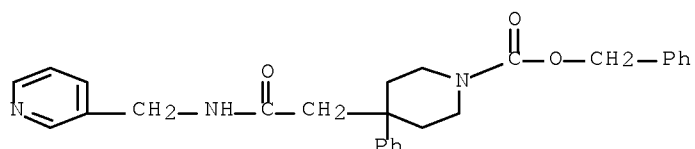
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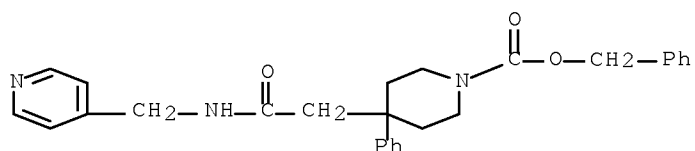
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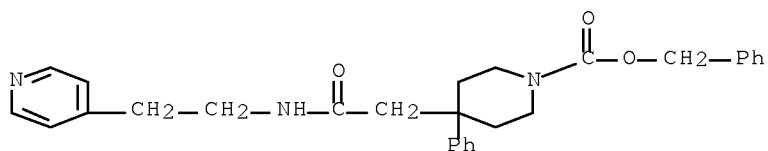
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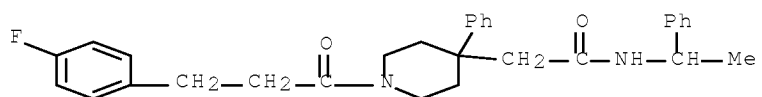
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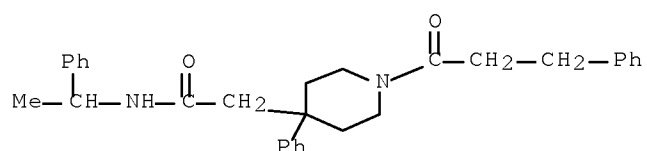
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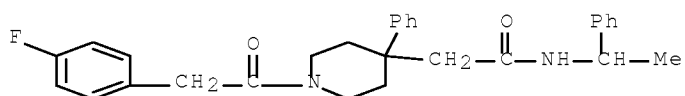
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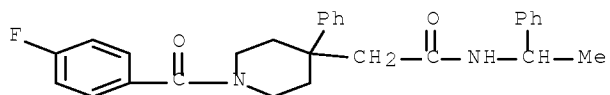
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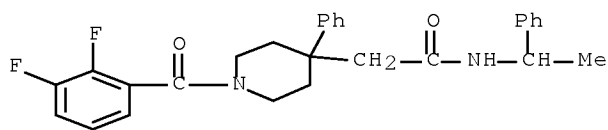
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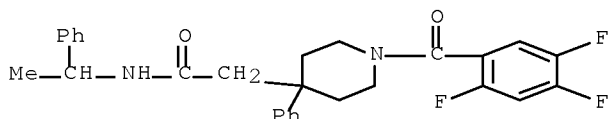
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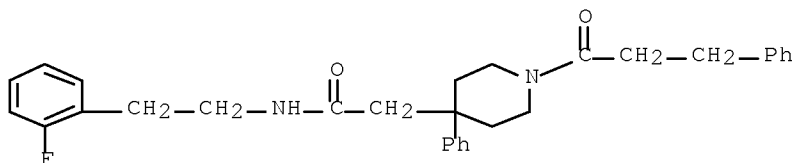
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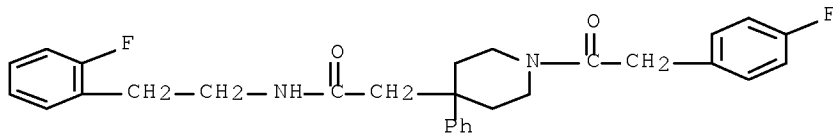
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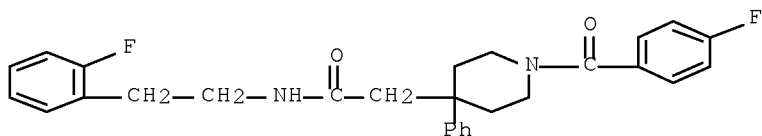
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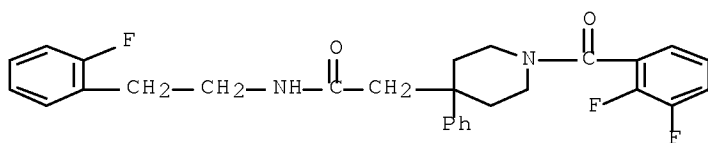
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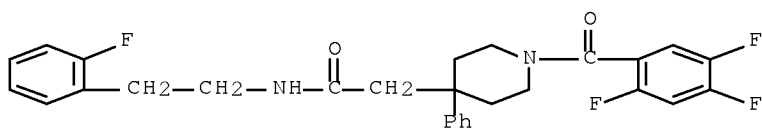
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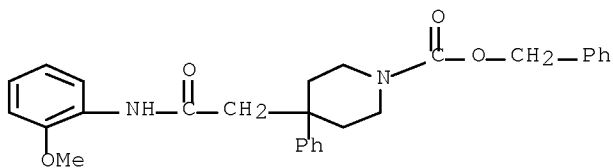
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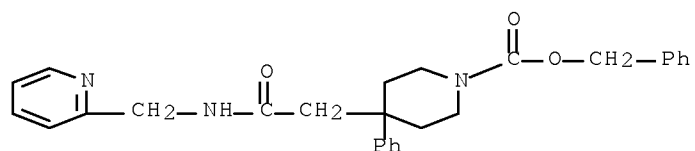
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CN 1-Piperidinecarboxylic acid, 4-[2-[(2-methoxyphenyl)amino]-2-oxoethyl]-4-phenyl-, phenylmethyl ester (CA INDEX NAME)



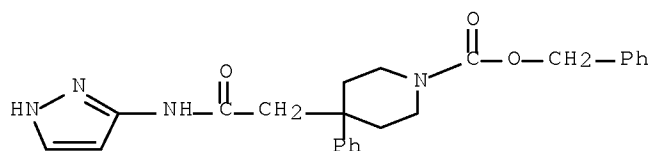
RN 619288-79-8 CAPLUS

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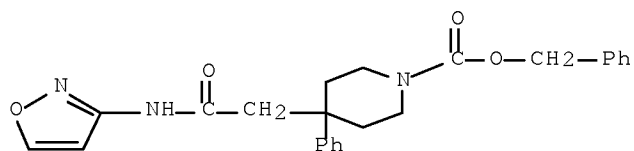
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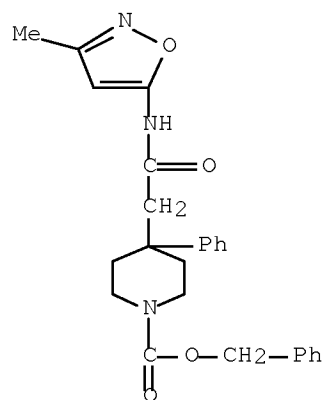
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CN 1-Piperidinecarboxylic acid, 4-[2-(3-isoxazolylamino)-2-oxoethyl]-4-phenyl-, phenylmethyl ester (CA INDEX NAME)



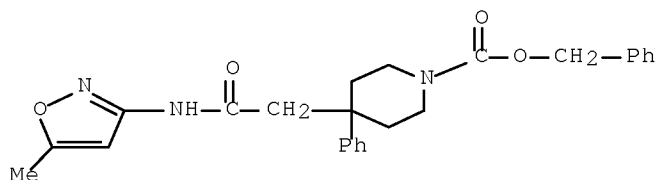
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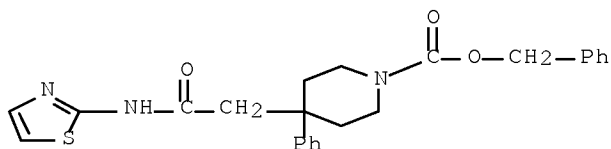
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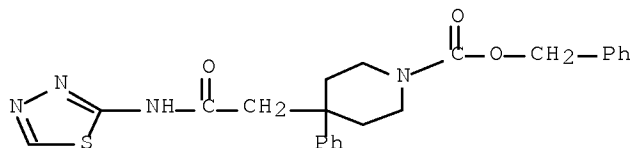
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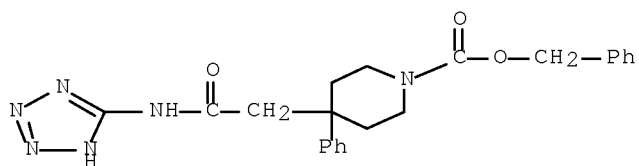
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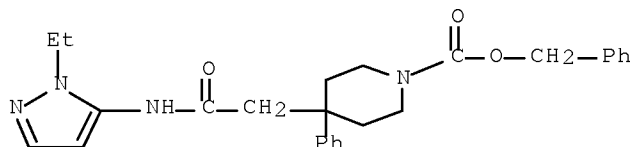
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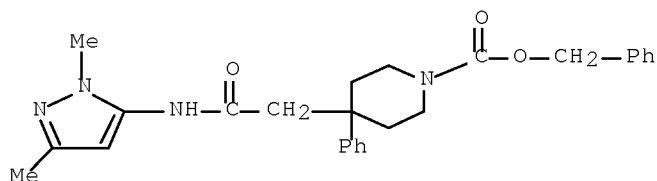
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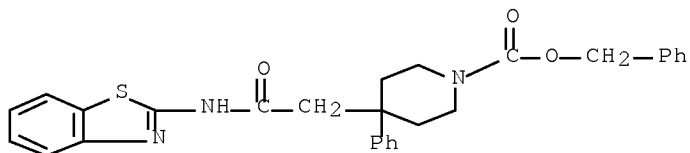
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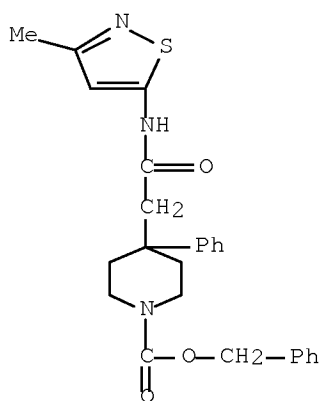
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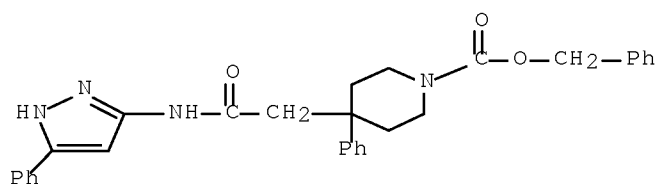
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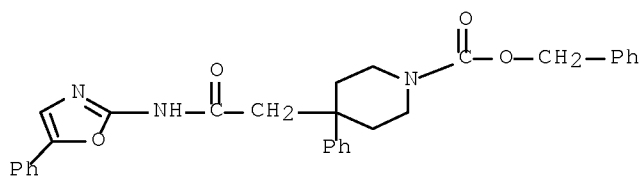
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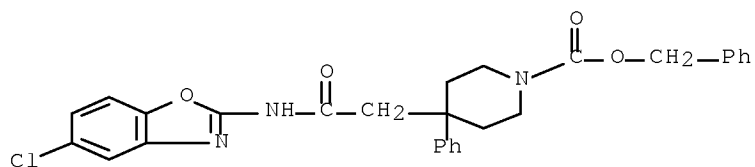
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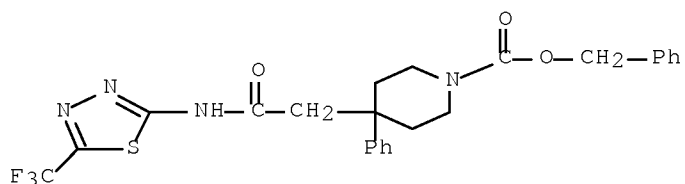
RN 619289-07-5 CAPLUS

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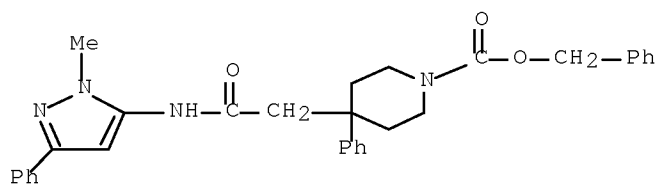
RN 619289-09-7 CAPLUS

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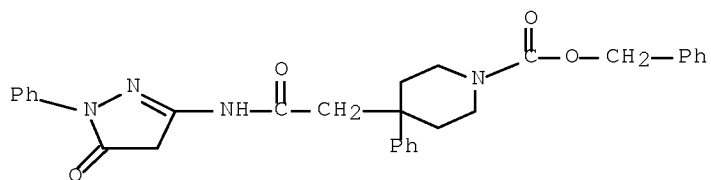
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CN 1-Piperidinecarboxylic acid, 4-[2-[(1-methyl-3-phenyl-1H-pyrazol-5-yl)amino]-2-oxoethyl]-4-phenyl-, phenylmethyl ester (CA INDEX NAME)



RN 619289-13-3 CAPLUS

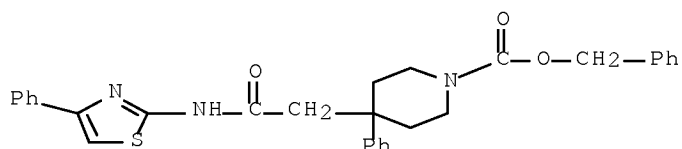
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RN 619289-15-5 CAPLUS

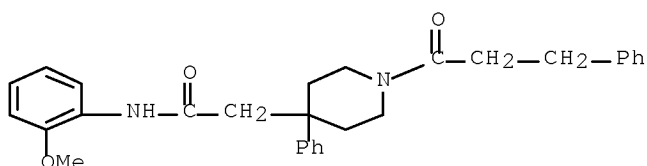
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RN 619289-17-7 CAPLUS

CN 4-Piperidineacetamide, N-(2-methoxyphenyl)-1-(1-oxo-3-phenylpropyl)-4-phenyl- (CA INDEX NAME)



L3 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:68365 CAPLUS Full-text

DOCUMENT NUMBER: 132:122932

TITLE: Preparation of peptides, peptidomimetics, and nonpeptides as medical and agrochemical antifungals.
INVENTOR(S): Bergnes, Gustave; Berlin, Vivian; Come, Jon; Kluge, Arthur; Murthi, Krishna; Pal, Kollol

PATENT ASSIGNEE(S): Mitotix, Inc., USA

SOURCE: PCT Int. Appl., 287 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

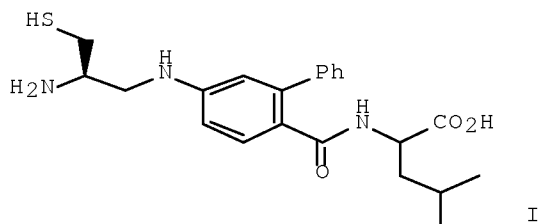
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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WO 2000003743	A3	20010201		
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RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
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AU 9951075	A	20000207	AU 1999-51075	19990715
EP 1096925	A2	20010509	EP 1999-935639	19990715

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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 JP 2002520372 T 20020709 JP 2000-559877 19990715
 PRIORITY APPLN. INFO.: US 1998-115846 A 19980715
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 WO 1999-US16146 W 19990715
 OTHER SOURCE(S): MARPAT 132:122932
 GI



AB A method for inhibiting the growth of a fungal pathogen comprises contacting the pathogen with a compound, e.g., (R70)2NCH[(CH2)nR]C(Xa)NHCHR7 2C(Xb)NHCHR73C(Xc)NHCHR10CO2R11 [Xa, Xb, Xc = O, H2; R = SR1, SOR111, SO2R111; R1 = H, alkyl, alkenyl, aryl, acyl; R10 = alkyl, alkenyl, alkynyl, aryl, cycloalkyl, hydroxyalkyl, amino acid sidechain, etc.; R11 = H, blocking group, pharmaceutically acceptable salt; R10R11 = atoms to form 5-7 membered ring; R111 = alkyl, alkenyl, (CH2)mR7; R70 = H, alkyl, alkenyl, alkynyl, aryl, acyl, amino acid sidechain, etc.; R72, R73 = H, alkyl, aryl, heteroaryl, amino acid sidechain, (CH2)mR7, etc.; m, n = 0-4], which inhibits prenyl transferase activity with MIC50<25 µg/mL. Thus, title compound (I) (solution phase preparation given) inhibited GGTase with IC50<10 nM.

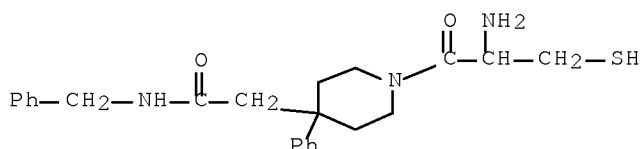
IT 256369-53-6 256369-61-6

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of peptides, peptidomimetics, and nonpeptides as medical and agrochem. antifungals)

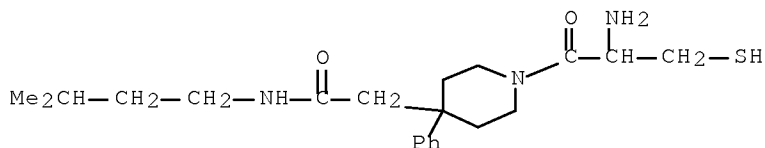
RN 256369-53-6 CAPLUS

CN 4-Piperidineacetamide, 1-(2-amino-3-mercapto-1-oxopropyl)-4-phenyl-N-(phenylmethyl)- (CA INDEX NAME)



RN 256369-61-6 CAPLUS

CN 4-Piperidineacetamide, 1-(2-amino-3-mercapto-1-oxopropyl)-N-(3-methylbutyl)-4-phenyl- (CA INDEX NAME)



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COST IN U.S. DOLLARS

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ENTRY

SESSION

FULL ESTIMATED COST

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212.69

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EP 1925296 28 MAY 2008

JP 2008117905 22 MAY 2008

WO 2008061874 29 MAY 2008

GB 2443936 21 MAY 2008

FR 2908651 23 MAY 2008

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